



## PATENT COOPERATION TREATY

## PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT  
(PCT Article 36 and Rule 70)

REC'D 13 APR 200

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Applicant's or agent's file reference 634	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. PCT/DK2004/000840	International filing date (day/month/year) 02.12.2004	Priority date (day/month/year) 03.12.2003
International Patent Classification (IPC) or both national classification and IPC INV. C07C259/10 A61K31/165 C07D213/84 C07D401/12 C07D413/06		
Applicant LEO PHARMA AS et al.		
<p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 11 sheets, including this cover sheet.</p> <p><input checked="" type="checkbox"/> This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of 44 sheets.</p>		
<p>3. This report contains indications relating to the following items:</p> <p>I <input checked="" type="checkbox"/> Basis of the opinion</p> <p>II <input type="checkbox"/> Priority</p> <p>III <input checked="" type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p>IV <input checked="" type="checkbox"/> Lack of unity of invention</p> <p>V <input checked="" type="checkbox"/> Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p>VI <input checked="" type="checkbox"/> Certain documents cited</p> <p>VII <input type="checkbox"/> Certain defects in the international application</p> <p>VIII <input checked="" type="checkbox"/> Certain observations on the international application</p>		
Date of submission of the demand  20.09.2005	Date of completion of this report  12.04.2006	
Name and mailing address of the International preliminary examining authority:   European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer  Härtinger, S  Telephone No. +49 89 2399-8289 	

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/DK2004/000840

## I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

### Description, Pages

1-312 as originally filed

### Claims, Numbers

1-49 received on 15.09.2005 with letter of 12.09.2005

### Drawings, Sheets

1/1 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

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5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

**III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 40-47

because:

☒ the said international application, or the said claims Nos. 40-47 relate to the following subject matter which does not require an international preliminary examination (specify):

**see separate sheet**

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☒ no international search report has been established for the said claims Nos. 48-49

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the Standard.

☐ the computer readable form has not been furnished or does not comply with the Standard.

**IV. Lack of unity of invention**

1. In response to the invitation to restrict or pay additional fees, the applicant has:

☒ restricted the claims.

☒ paid additional fees.

☐ paid additional fees under protest.

☐ neither restricted nor paid additional fees.

2. ☐ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

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☐ complied with.

☒ not complied with for the following reasons:

**see separate sheet**

4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:

☐ all parts.

☒ the parts relating to claims Nos. 1-47(part) .

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes: Claims	1-47
	No: Claims	
Inventive step (IS)	Yes: Claims	7,9
	No: Claims	1-6,8,10-47
Industrial applicability (IA)	Yes: Claims	1-39
	No: Claims	

2. Citations and explanations

**see separate sheet**

**VI. Certain documents cited**

1. Certain published documents (Rule 70.10)

and / or

2. Non-written disclosures (Rule 70.9)

**see separate sheet**

**VIII. Certain observations on the international application**

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

**see separate sheet**

**Re Item III**

1. Claims 40-47 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Art. 34(4)(a)(I) PCT).
2. For the subject-matter of claims 48, 49 and the subject-matter of below defined groups D-H inventions no search has been performed. By consequence, no opinion could be given for the subject-matter not searched (Rule 66.1 e) PCT).

**Re Item IV**

1. This Authority considers that there are 8 groups of inventions covered by the claims indicated as in the annex to the international search report (ISR).

- A: compound (I) with X and Y = bond
- B: compound (I) with X and Y is not a chemical bond
- C: compound (I) with at least one of D, E, F, G is not a carbon atom
- D: compound (I) with at least one of R<sub>2</sub>/R<sub>3</sub>, R<sub>3</sub>/R<sub>4</sub>, R<sub>4</sub>/R<sub>5</sub> forms a fused ring
- E: compound (II) which is an acid or ester
- F: compound (II) which is a nitrile
- G: compound (XV)
- H: compound (III)

The reasons for which the inventions are not so linked as to form a single general inventive concept, as required by Rule 13.1 PCT, are as follows. The prior art has been identified as indicated under Item V below and discloses hydroxamic acid ester derivatives, which fall under the ambit of the formula (I) as defined in claim 1. Several of the prior art compounds have been used to treat cancer and neoplastic or inflammatory diseases such that the structural element of an 2-amino substituted benzo hydroxamic acid ester can not longer define the "special technical feature" in the sense of Rule 13.2 PCT. In particular, the basic structural motive of a mono- or bi-cyclic aromatic or heteroaromatic ring, which is substituted on neighbouring positions with an optionally substituted amino group (cf. present moiety "-NH-X-B-R<sub>8</sub>") and a hydroxamic acid ester (cf. present moiety "C(=W)-N(R<sub>1</sub>)-O-Y-A-R<sub>9</sub>") has

been individualised in a vast number of documents relating to compounds, which share the present utility as medicaments to treat cancer, neoplasm and inflammation. In other words, the structural motive, which is common to all variants embraced by claim 1 (i.e the 2-amino 1-hydroxamic acid ester substituents to a central ring system) can not longer define the novel and inventive contribution over the prior art.

A first set of individual groups of inventions is derived from the nature of the central 1,2-disubstituted aryl or heteroaryl ring system (Groups A to D). In the light of the numerous novelty destroying compounds for group A, the 1,2-disubstituted benzene ring can also not define as a whole a single contribution over the cited prior, since compounds are also known, which having in the present nomenclature X and Y as a chemical bond or a carbonyl group containing linker and the desired medical utility. By consequence, the subject-matter of Groups A and B are divided according to the nature of the said linking groups X and/or Y. Since at the time of the search, only group A was dealt, present Group B may contain further sub-groups, which are not so linked as to form a single invention. This might be the case, if a search for the subject-matter of present Group B revealed further prior art for this group and which also share the technical utility of the present compounds. Thus, the special technical feature of groups A to D resides from the combination of the central (het)aromatic ring in combination with X and Y being a chemical bond. The technical problems solved by these special technical features can for each group A to D be considered as the provision of further, possibly improved, chemical agents for the treatment of cancer, neoplasms or inflammation.

The subject-matter of groups E to H relates to a list of individual syntectic intermediates, which may be used to produce certain of the present compounds according to well established synthesis methods. Notably, the chemical class of compounds proposed as intermediates (II), (III), (XV), and also their use in the reaction to produce the final products (I), have been disclosed in the cited prior art. By consequence, the basic structural moiety, which is introduced by the said intermediates into the final products, is already known in the prior art. That is to say, the individually claimed intermediates relate to further, obvious variants of the already individualised products in the prior art, whereby the special technical feature of these intermediates (if at all) resides from specific combinations of substituents in the as such already known classes of intermediates. Since, the said special technical

features in groups E to H are not shared by nor related to that of the other groups, unity of invention does not exist between these groups and also not with regard to groups A to D. Finally, as the hydroxylamine derivatives (III) and the aromatic acid, nitrile and lactone derivatives (II) and (XV) relate to distinct structural elements to be incorporated in the final products, unity of invention is lacking in particular between group H and groups E, F, G. The technical problems solved by the special technical features for groups E to H can each be considered as the provision of novel compounds, which are useful to produce hydroxamic acid ester derivatives in an analogous, or possibly improved, manner.

In conclusion, the groups of claims are not linked by common or corresponding special technical features and define different inventions not linked by a single general inventive concept. The application, hence does not meet the requirements of unity of invention as defined in Rules 13.1 and 13.2 PCT.

Applicant only paid one supplementary examination fee in reply to the official communication dated 19.10.05. Since the preliminary opinion relevant to Group A had already been forwarded, the extra examination fee would entitle the Applicant to receive a report for one further group of inventions searched, i.e. Groups B or Group C. This Authority nevertheless decided to deal with both groups as they already have been part of the communication sent together with the search report.

**Re Item V**

1. The following documents are considered relevant:

- D1: WO 99/01426 A (WARNER-LAMBERT COMPANY; BARRETT, STEPHEN, DOUGLAS; BRIDGES, ALEXANDER,) 14 January 1999 (1999-01-14)
- D2: WO 02/06213 A (WARNER-LAMBERT COMPANY; BARRETT, STEPHEN, DOUGLAS; BIWERSI, CATHLIN; K) 24 January 2002 (2002-01-24)
- D3: US-A-5 155 110 (CONNOR ET AL) 13 October 1992 (1992-10-13)
- D4: KALGUTKAR A S ET AL: "Amide Derivatives of Meclofenamic Acid as Selective Cyclooxygenase-2 Inhibitor" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 12, 2002, pages 521-524, XP002319950 ISSN: 0960-894X

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- D5: EP-A-1 321 518 (WARNER-LAMBERT COMPANY LLC) 25 June 2003 (2003-06-25)
- D6: WO 03/062189 A (WARNER-LAMBERT COMPANY LLC; BARRETT, STEPHEN, DOUGLAS; KAUFMAN, MICHAEL) 31 July 2003 (2003-07-31)
- D7: WO 00/35436 A (WARNER-LAMBERT COMPANY; DUDLEY, DAVID, THOMAS; FLORY, CRAIG, MASON; SA) 22 June 2000 (2000-06-22)
- D8: US-A-6 147 107 (DENT ET AL) 14 November 2000 (2000-11-14)
- D9: WO 00/41505 A (WARNER-LAMBERT COMPANY; TECLE, HAILE; BARRETT, STEPHEN, DOUGLAS) 20 July 2000 (2000-07-20)
- D10: WO 00/40235 A (WARNER-LAMBERT COMPANY; BRIDGES, ALEXANDER, JAMES; DUDLEY, DAVID, THOM) 13 July 2000 (2000-07-13)
- D11: WO 03/062191 A (WARNER-LAMBERT COMPANY LLC; BARRETT, STEPHEN, DOUGLAS; KAUFMAN, MICHAEL) 31 July 2003 (2003-07-31)
- D12: WO 01/68619 A (WARNER-LAMBERT COMPANY; BIWERSI, CATHLIN; TECLE, HAILE; WARMUS, JOSEPH) 20 September 2001 (2001-09-20)
- D13: WO 02/076496 A (VAN ANDEL INSTITUTE; DUESBERY, NICHOLAS, S; WEBB, CRAIG, P; VANDE WOUDE) 3 October 2002 (2002-10-03)
- D14: WO 02/18319 A (WARNER-LAMBERT COMPANY; CHEN, MICHAEL, HUI, GU; DAVIS, EDWARD, MARK;) 7 March 2002 (2002-03-07)
- D15: DATABASE BEILSTEIN BEILSTEIN CROSSFIRE INSTITUT ZUR FOERDERUNG DER WISSENSCHAFTEN; BRN 2826119 1989, XP002319951
- D16: DATABASE BEILSTEIN BEILSTEIN CROSSFIRE INSTITUT ZUR FOERDERUNG DER WISSENSCHAFTEN; BRN 2186299 1989, XP002319952
- D17: DATABASE BEILSTEIN BEILSTEIN CROSSFIRE INSTITUT ZUR FOERDERUNG DER WISSENSCHAFTEN; Citation Number 134310 1988, XP002319953
- D18: GB-A-1 126 672 (FARBWERKE HOECHST AKTIENGESELLSCHAFT) 11 September 1968 (1968-09-11)
- D19: SCOTT A W ET AL: "SOME HYDROXYLAMINE DERIVATIVES OF ANTHRANILIC ACID" JOURNAL OF ORGANIC CHEMISTRY, AMERICAN CHEMICAL SOCIETY. EASTON, US, vol. 7, no. 6, 1942, pages 508-516, XP002313446 ISSN: 0022-3263



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- D20: WO 95/25723 A (AGREVO UK LIMITED; RIORDAN, PETER, DOMINIC; BODDY, IAN, KENNETH; OSBOU) 28 September 1995 (1995-09-28)
- D21: WO 03/024222 A (E. I. DU PONT DE NEMOURS AND COMPANY; BERGER, RICHARD, ALAN; FLEXNER,) 27 March 2003 (2003-03-27)
- D22: WO 02/068406 A (AMGEN INC) 6 September 2002 (2002-09-06)
- D25: DATABASE BEILSTEIN BEILSTEIN CROSSFIRE INSTITUT ZUR FOERDERUNG DER WISSENSCHAFTEN; BRN 5600004 1993
- D26: KOHL H ET AL: "Cyclisierungsreaktionen von o-acylamino-benzhydroxamsäure-O-alkylester n" JUSTUS LIEBIGS ANNALEN DER CHEMIE, VERLAG CHEMIE GMBH. WEINHEIM, DE, vol. 766, 1972, pages 106-115, XP002094547 ISSN: 0075-4617
- D27: WO 02/055501 A (AMGEN INC) 18 July 2002 (2002-07-18)
- D28: EP-A-0 711 757 (HOFFMANN-LA ROCHE AG) 15 May 1996 (1996-05-15)

2. The presently subject-matter belonging to Groups B and C appears to meet the novelty requirements of Art. 33(2) PCT in the light of the extensive lists of disclaimers introduced. As the conditions in different PCT member states are not necessarily the same for the assessment of whether a disclaimer can be regarded to be comprised by the originally filed application, this report is established as if all amendments were acceptable.

3. Group B invention:

This group of inventions relates to 2-amino substituted benzhydroxamic acid esters of the formula I, wherein at least one of X and Y is different from a direct bond. The relevant prior art is represented by the following documents: D2, D11, D15, D16, D19-D22, D25 and D26.

The common structural core of an 2-amino substituted benzhydroxamic acid, which bears carbon atom containing substituents in the hydroxamic acid part has been shown in the compounds of D2 and D11 to produce anti-cancer agents. The present family of compounds build further on this teaching, whereby the genus of the said hydroxamic acid substituents has been modified (cf. present group Y). In the light of the already broad variation of this molecular feature in the closest prior art (D2 and/or D11), the skilled person would have expected that further changes at this molecular locus, which involves partial structures of comparable steric, electronic and lipophilic

properties, are not essential for the achievement of the same qualitative effect. Hence, those alternatives are considered to be obvious further modification of a recognised active principle. The solution of the problem of providing further anti-cancer in the form of the present 2-amino substituted benzohydroxamic acids, wherein Y is different from a direct bond does therefore not appear to have met the inventive step criteria of Art. 33(3) PCT.

4. Group C invention:

This group of inventions relates to 2-amino substituted heteroaryl-hydroxamic acid esters of the formula I, wherein at least one of D, E, F and G is nitrogen. The categories of the claims are as indicated for group A. The relevant prior art is represented by the following documents: D22, D27 and D28.

The amino-heteroaryl-hydroxamic acid derivatives of this group of inventions represent a novel selection from the compounds (I) of D22 and D27, since O-substituted hydroxamic acid derivatives have not been individualised. Both documents represent the closest prior art, since these documents disclose amino-heteroaryl derivatives with anti-cancer activity. Although there exists a generic overlap of the present family of heteroaromatic compounds with D22 and D27, nothing points to a combination of an amino-heteroaryl moiety with a substituted hydroxamic acid moiety. As such, the class of compounds covered by this group of inventions is regarded to be not directly derivable from the closest prior art. The novel subject-matter does therefore appear to have involved an inventive step.

**Re Item VI**

1. The following documents, which have been cited in the ISR under the category "P,X", do not belong to the state of the art as defined in the PCT in the light of their publication dates. However, these documents may become relevant for the issue of novelty in the regional examination phase before the EPO.

D23: WO 2004/037853 A (IRM LLC; HE, YUN; ELLIS, DAVID, ARCHER; ANACLERIO, BETH, MARIE; KUHEN,) 6 May 2004 (2004-05-06)

D24: DATABASE WPI Section Ch, Week 200425 Derwent Publications Ltd., London, GB; Class B02, AN 2004-262131 XP002319955 -& JP 2004 075614 A (SANKYO CO LTD) 11 March 2004 (2004-03-11)

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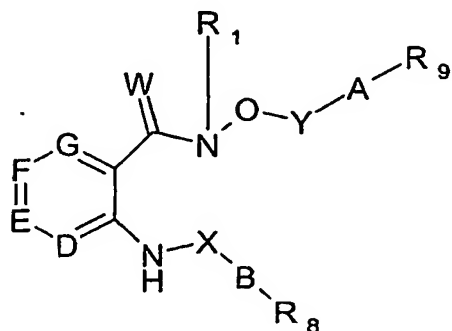
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Due to the status of these documents, they have not further been considered in this report.

## CLAIMS

1. A compound of general formula I



[I]

wherein  $R_1$  represents hydrogen or a straight, branched and/or cyclic, saturated or unsaturated hydrocarbon radical, optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxyl, amino, nitro, and cyano;

D represents nitrogen or C- $R_2$ ;

E represents nitrogen or C- $R_3$ ;

F represents nitrogen or C- $R_4$ ;

G represents nitrogen or C- $R_5$ ;

$R_2$ ,  $R_3$ ,  $R_4$ , and  $R_5$  are the same or different and individually represent hydrogen, halogen, hydroxyl, amino, nitro, carboxy, cyano, alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, alkylsulfonylamino, formyl, aminocarbonyl, alkylcarbonylamino, or a straight or branched, saturated or unsaturated hydrocarbon radical, optionally substituted with one or more substituents independently selected from the group consisting of halogen, hydroxyl, amino, nitro, carboxy, cyano, alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, alkylsulfonylamino, formyl, aminocarbonyl, and alkylcarbonylamino, or  $R_2$  and  $R_3$ , or  $R_3$  and  $R_4$ , or  $R_4$  and  $R_5$  together with the C atoms to which they are attached form a 5- or 6-membered carbocyclic or heterocyclic ring;

W represents oxygen, sulphur, two hydrogen atoms,  $=CH_2$ ,  $=N-O-R_6$  or the group  $=N(R_6)$ ;

- 5  $R_6$  represents hydrogen, cycloalkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkenyl, aryl, heteroaryl, alkenyl, alkynyl, or alkyl;

- X represents a radical of the formula  $-(CH_2)_i-NH-C(O)-(CH_2)_j-$ ,  $-(CH_2)_k-C(O)-(CH_2)_m-$ ,  $-(CH_2)_n-$ ,  $-(CH_2)_p-CH=CH-(CH_2)_q-$ ,  $-(CH_2)_r-O-(CH_2)_s-$ ,  $-(CH_2)_t-NH-(CH_2)_u-$ ,  $-(CH_2)_w-C(O)-$   
 10  $NH-(CH_2)_z-$  where i, j, k, m, p, q, r, s, t, u, w, and z are integers from 0-6, and n is an integer from 1-6, wherein said radicals are optionally substituted by one or more substituents independently selected from the group consisting of  $R_7$ ;

- Y represents a radical of the formula  $-(CH_2)_i-NH-C(O)-(CH_2)_j-$ ,  $-(CH_2)_k-C(O)-(CH_2)_m-$ ,  $-(CH_2)_n-$ ,  $-(CH_2)_p-CH=CH-(CH_2)_q-$ ,  $-(CH_2)_r-O-(CH_2)_s-$ ,  $-(CH_2)_t-NH-(CH_2)_u-$ ,  $-(CH_2)_w-C(O)-$   
 15  $NH-(CH_2)_z-$  where i, j, k, m, n, p, q, r, s, t, u, w, and z are integers from 0-6, wherein said radicals are optionally substituted by one or more substituents independently selected from the group consisting of  $R_7$ ;

- 20  $R_7$  represents hydrogen, oxo, thioxo, halogen, hydroxyl, amino, imino, nitro, carboxy, carbamoyl, cyano, cycloalkyl, alkyl, aryl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, heterocycloalkyl-heteroaryl, heterocycloalkylcarbonylamino, cycloalkenyl, alkenyl, alkynyl, alkoxy, alkoxyimino, alkylthio, alkoxy carbonyl, alkylcarbonyloxy, alkenylcarbonyloxy, alkoxy carbonyloxy, alkylureido, alkylthioureido,  
 25 alkylcarbonyl, alkoxy sulfonyloxy, aminosulfonyl, alkylsulfonylamino, alkylsulfonyl, arylsulfonyl, formyl, aminocarbonyl, and alkylcarbonylamino, wherein said amino, imino, cycloalkyl, alkyl, aryl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, heterocycloalkyl-heteroaryl, heterocycloalkylcarbonylamino, cycloalkenyl, alkenyl, alkynyl, alkoxy, alkoxyimino, alkylthio, alkoxy carbonyl, alkylcarbonyloxy,  
 30 alkenylcarbonyloxy, alkoxy carbonyloxy, alkylureido, alkylthioureido, alkylcarbonyl, alkoxy sulfonyloxy, aminosulfonyl, alkylsulfonylamino, alkylsulfonyl, arylsulfonyl, aminocarbonyl, and alkylcarbonylamino are optionally substituted by one or more substituents independently selected from the group consisting of hydrogen, halogen, oxo, thioxo, hydroxyl, amino, imino, nitro, carboxy, cyano, alkoxy, alkylthio,  
 35 alkoxy carbonyl, alkylcarbonyloxy, alkoxy carbonyloxy, alkylcarbonyl, alkoxy sulfonyloxy, aminosulfonyl, alkylsulfonylamino, alkylsulfonyl, arylsulfonyl, aminocarbonyloxy, heteroarylsulfonylamino, formyl, aminocarbonyl, trifluoromethyl, alkylcarbonylamino,

heterocycloalkyl, heterocycloalkenyl, aryl, alkylureido, alkylthioureido, heteroaryl, cycloalkyl, alkyl, cycloalkenyl, alkenyl, alkynyl, and alkylaminocarbonyl;

5 B represents aryl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, or cycloalkenyl, all of which are optionally substituted with one or more substituents independently selected from the group consisting of  $R_8$ ;

10  $R_8$  represents hydrogen, halogen, hydroxyl, amino, imino, oxo, thioxo, nitro, carboxy, cyano, alkoxy, phenoxy, alkylthio, alkoxycarbonyl, alkoxycarbamoyl, alkylcarbonyloxy, alkoxy carbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, arylsulfonyl, alkylsulfonylamino, formyl, aminocarbonyl, alkylureido, alkylthioureido, aminocarbonyloxy, alkylcarbonylamino, heterocycloalkylcarbonylamino, heterocycloalkyl, heterocycloalkenyl, aryl, heteroaryl, alkylaminocarbonyl, and a  
15 straight or branched, saturated or unsaturated hydrocarbon radical, wherein said amino, alkoxy, phenoxy, alkylthio, alkoxycarbonyl, alkoxycarbamoyl, alkylcarbonyloxy, alkoxy carbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, arylsulfonyl, alkylsulfonylamino, aminocarbonyl, alkylureido, alkylthioureido, aminocarbonyloxy, alkylcarbonylamino, heterocycloalkylcarbonylamino, heterocycloalkyl, heterocycloalkenyl, aryl, heteroaryl, alkylaminocarbonyl, and straight or branched,  
20 saturated or unsaturated hydrocarbon radical are optionally substituted with one or more substituents independently selected from the group consisting of  $R_7$ ;

A represents a straight, branched and/or cyclic, saturated or unsaturated hydrocarbon radical, a heterocycloalkyl, a heterocycloalkenyl, or a heteroaryl, all of which are  
25 optionally substituted with one or more substituents independently selected from the group consisting of  $R_9$ ;

$R_9$  represents hydrogen, oxo, halogen, trifluoromethyl, hydroxyl, amino, nitro, carboxy, cyano, alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylureido, alkylthioureido, alkylcarbonyl, ~~alkoxysulfonyloxy~~, aminosulfonyl, arylsulfonyl, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkylsulfonyl, formyl, aminocarbonyl, alkylcarbonylamino, alkylaminocarbonyl, aminocarbonyloxy, heterocycloalkyl, heterocycloalkenyl, heteroaryl and a straight or  
30 branched, saturated or unsaturated hydrocarbon radical, wherein said amino, alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylureido, alkylthioureido, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, arylsulfonyl, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylaminocarbonyl, aminocarbonyloxy,  
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heterocycloalkyl, heterocycloalkenyl, heteroaryl and straight or branched, saturated or unsaturated hydrocarbon radical are optionally substituted by one or more substituents independently selected from the group consisting of R<sub>7</sub>;

- 5 and pharmaceutically acceptable salts, hydrates, or solvates thereof;

provided that the compound is not

- N-(2-benzyloxycarbamoylphenyl)-3,5-dinitrobenzamide,  
 3-chloro-N-(2-methoxycarbamoylphenyl)-4-nitrobenzamide,  
 10 4-chloro-N-benzyl-5-sulphamyl-anthranilic acid methoxamide,  
 4-chloro-N-benzyl-5-sulphamyl-anthranilic acid isopropoxamide,  
 4-chloro-N-(2-thenyl)-5-sulphamyl-anthranilic acid methoxamide,  
 2-benzoylamino-N-benzoyloxybenzamide,  
 6-methoxy-N-(2-methoxycarbamoylphenyl)nicotinamide,  
 15 6-methoxy-N-[2-(methoxymethylcarbamoyl)phenyl]nicotinamide,  
 2-(2-chlorophenyl)-5-trifluoromethyl-2H-pyrazole-3-carboxylic acid (2-chloro-6-isopropoxycarbamoylphenyl)amide,  
 2-(3-chloropyridine-2-yl)-5-trifluoromethyl-2H-pyrazole-3-carboxylic acid (2-chloro-6-isopropoxycarbamoylphenyl)amide,  
 20 2-(3-chloropyridine-2-yl)-5-trifluoromethyl-2H-pyrazole-3-carboxylic acid (2-isopropoxycarbamoyl-6-methylphenyl)amide,  
 2-(3-chlorophenyl)-5-trifluoromethyl-2H-pyrazole-3-carboxylic acid (2-isopropoxycarbamoyl-6-methylphenyl)amide,  
 2-(2-chloro-2-phenylacetyl-amino)-N-methoxybenzamide,  
 25 3-chloro-2-(2-chloro-2-phenylacetyl-amino)-N-methoxybenzamide,  
 3,5-dichloro-2-(2-chloro-2-phenylacetyl-amino)-N-methoxybenzamide,  
 2-(3-{4-[2-(2,2,2-trifluoroethoxy)phenyl]piperazine-1-yl}propylamino)-N-methyl-N-methoxynicotinamide,  
 2-[(2-chloro-4-iodophenyl)amino]-4-fluoro-N-(2-hydroxyethoxy)-N-methyl-benzamide,  
 30 2-[(2,6-dichloro-3-methylphenyl)amino]-N-methoxy-N-methyl-benzamide,  
 N-methoxy-2-[3-((E)-2-pyridin-2-yl-vinyl)-1H-indazol-6-ylamino]-benzamide,  
 N-isopropoxy-2-[3-((E)-2-pyridin-2-yl-vinyl)-1H-indazol-6-ylamino]-benzamide, or  
 N-allyloxy-2-[3-((E)-2-pyridin-2-yl-vinyl)-1H-indazol-6-ylamino]-benzamide.
- 35 2. A compound according to claim 1 wherein R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, and R<sub>5</sub> are the same or different and individually represent hydrogen, halogen, hydroxyl, amino, nitro, carboxy, cyano, alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, alkylsulfonylamino, formyl,

aminocarbonyl, alkylcarbonylamino, or a straight or branched, saturated or unsaturated hydrocarbon radical, optionally substituted with one or more substituents independently selected from the group consisting of halogen, hydroxyl, amino, nitro, carboxy, cyano, alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylcarbonyl, alkoxy sulfonyloxy, aminosulfonyl, alkylsulfonylamino, formyl, aminocarbonyl, and alkylcarbonylamino.

3. A compound according to claim 1 or 2 wherein W represents oxygen.

4. A compound according to any one of claims 1-3 wherein  $R_1$  represents hydrogen.

5. A compound according to any one of claims 1-4 wherein D is C- $R_2$ , E is C- $R_3$ , F is C- $R_4$ , and G is C- $R_5$ .

6. A compound according to any one of claims 1-5 wherein  $R_2$ ,  $R_3$ ,  $R_4$ , and  $R_5$  are hydrogen, chloro, bromo, fluoro, methoxy, or methyl.

7. A compound according to any one of claims 1-4 wherein D is nitrogen, E is C- $R_3$ , F is C- $R_4$ , and G is C- $R_5$ .

8. A compound according to any one of claims 1-7 wherein  $R_3$ ,  $R_4$  and  $R_5$  are hydrogen.

9. A compound according to any one of claims 1-4 wherein D is C- $R_2$ , E is nitrogen, F is C- $R_4$ , and G is C- $R_5$ .

10. A compound according to any one of claims 1-6 or 8 wherein  $R_2$ ,  $R_4$  and  $R_5$  are hydrogen.

11. A compound according to any one of claims 1-10 wherein B represents phenyl or pyridyl, such as 2-pyridyl, 3-pyridyl, or 4-pyridyl, all of which are optionally substituted with one or more substituents independently selected from the group consisting of  $R_8$ .

12. A compound according to any one of claims 1-10 wherein B represents, naphthyl, 2,3-dihydrobenzofuranyl, benzofuranyl, 2H-chromenyl, thiazolyl, 4,5-dihydro-1H-[1,2,4]-triazolyl, tetrahydropyranyl, 1,6-dihydropyridinyl, imidazolyl, imidazolidinyl, imidazo[2,1-b]thiazolyl, imidazo[1,2-a]pyrimidinyl, 1,2,4-triazolyl, piperidinyl, pyrrolidinyl, 4,5-dihydro-oxazolyl, isoxazolyl, 4,5-dihydro-isoxazolyl, pyrimidinyl, 1H-pyrazolyl, 1H-indazol-6-yl, quinolinyl or isoquinolinyl, all of which are optionally



substituted with one or more substituents independently selected from the group consisting of  $R_8$ .

13. A compound according to any one of claims 1-12 wherein  $R_8$  is hydrogen, halogen, alkoxy, phenoxy, alkoxycarbonyl, carboxy, aminocarbonyl, cyano, alkyl, oxo, hydroxy, amino, heterocycloalkyl, heterocycloalkenyl, alkylsulfonylamino, alkylsulfonyl, alkylureido, alkylthioureido, alkylcarbonylamino, heterocycloalkylcarbonylamino, or aminocarbonyloxy, wherein said alkoxy, phenoxy, alkoxycarbonyl, alkoxycarbamoyl, aminocarbonyl, alkyl, amino, heterocycloalkyl, alkylsulfonylamino, alkylsulfonyl, alkylureido, alkylthioureido, alkylcarbonylamino, heterocycloalkylcarbonylamino, or aminocarbonyloxy are optionally substituted with one or more substituents independently selected from the group consisting of  $R_7$ .

14. A compound according to any one of claims 1-13 wherein  $R_8$  is hydrogen, fluoro, chloro, bromo, cyano, carboxy, oxo,  $-NH_2$ , hydroxy, methoxy, phenoxy, methoxycarbonyl, ethoxycarbonyl, methoxycarbamoyl, methylaminocarbonyl, pyrrolidinylcarbonylamino, ethylaminocarbonyl, propylaminocarbonyl, butylaminocarbonyl, methyl, ethyl, propyl, morpholine, pyrrolidinyl, methylsulfonylamino, methylsulfonyl, methylureido, ethylureido, *tert*-butylureido, cyclohexylureido, methylthioureido, isopropylureido, *n*-propylureido, methylamino, or ethylamino, wherein said methoxy, phenoxy, methoxycarbonyl, ethoxycarbonyl, methoxycarbamoyl, *tert*-butoxycarbonyl, methylaminocarbonyl, pyrrolidinylcarbonylamino, ethylaminocarbonyl, propylaminocarbonyl, butylaminocarbonyl, methyl, ethyl, propyl, morpholine, pyrrolidinyl, methylsulfonylamino, methylsulfonyl, methylureido, ethylureido, *tert*-butylureido, cyclohexylureido, methylthioureido, isopropylureido, *n*-propylureido, methylamino, or ethylamino are optionally substituted with one or more substituents independently selected from the group consisting of  $R_7$ .

15. A compound according to any one of claims 1-14 wherein X is  $-CH_2-$ ,  $-(CH_2)_2-$ ,  $-CH(CH_3)-$ ,  $-C(O)-$ ,  $-C(O)-CH_2-$ ,  $-(CH_2)_2-O-CH_2-$ , or  $-CH=CH-$ .

16. A compound according to any one of claims 1-15 wherein Y is radical of the formula  $-(CH_2)_i-NH-C(O)-(CH_2)_j-$ , where i is an integer from 1-4 and j is 0; or Y is radical of the formula  $-(CH_2)_n-$ , where n is an integer from 0-6; or Y is radical of the formula  $-(CH_2)_p-C(O)-NH-(CH_2)_q-$ , where p is an integer from 0-6 and q is 0; or Y is radical of the formula  $-(CH_2)_r-O-(CH_2)_s-$ , where r is an integer from 0-6 and s is an integer from 0-1; or Y is radical of the formula  $-(CH_2)_t-NH-(CH_2)_u-$ , where t is an integer from 0-4 and u

is an integer from 0-1; wherein said radicals are optionally substituted by one or more substituents independently selected from the group consisting of R<sub>7</sub>.

17. A compound according to any one of claims 1-16 wherein Y is a bond, -CH<sub>2</sub>-, -CH<sub>2</sub>-CH<sub>2</sub>-, -CH(CH<sub>3</sub>)-, -CH<sub>2</sub>-CH<sub>2</sub>-O-, -(CH<sub>2</sub>)<sub>2</sub>-O-CH<sub>2</sub>-, -(CH<sub>2</sub>)<sub>3</sub>-O-CH<sub>2</sub>-, -(CH<sub>2</sub>)<sub>3</sub>-NH-C(O)-, -(CH<sub>2</sub>)<sub>4</sub>-NH-C(O)-, -CH<sub>2</sub>-CH(OH)-CH<sub>2</sub>-O-, -(CH<sub>2</sub>)<sub>2</sub>-NH-CH<sub>2</sub>-, -(CH<sub>2</sub>)<sub>4</sub>-NH-CH<sub>2</sub>-, -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-, -CH<sub>2</sub>-C(O)-, -CH<sub>2</sub>-C(O)-NH-, or -CH(CH<sub>2</sub>NHSO<sub>2</sub>CH<sub>3</sub>)-.  
5
18. A compound according to any one of claims 1-17 wherein A represents (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>3</sub>-C<sub>10</sub>)heterocycloalkyl, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkenyl, (C<sub>2</sub>-C<sub>5</sub>)alkenyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>10</sub>)heteroaryl, heterocycloalkenyl, or toluyl, all of which are optionally substituted with one or more substituents independently selected from the group consisting of R<sub>9</sub>.  
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19. A compound according to any one of claims 1-18 wherein A represents methyl, ethyl, (C<sub>6</sub>)aryl, (C<sub>9</sub>)aryl, (C<sub>10</sub>)aryl, (C<sub>14</sub>)aryl, (C<sub>3</sub>)alkyl, (C<sub>4</sub>)alkyl, (C<sub>5</sub>)alkyl, (C<sub>2</sub>)alkenyl, (C<sub>3</sub>)alkenyl, (C<sub>4</sub>)alkenyl, (C<sub>5</sub>)alkenyl, (C<sub>3</sub>)cycloalkyl, (C<sub>4</sub>)cycloalkyl, (C<sub>5</sub>)cycloalkyl, (C<sub>6</sub>)cycloalkyl, (C<sub>7</sub>)cycloalkyl, (C<sub>8</sub>)cycloalkyl, (C<sub>10</sub>)cycloalkyl, (C<sub>6</sub>)cycloalkenyl, (C<sub>3</sub>)heteroaryl, (C<sub>4</sub>)heteroaryl, (C<sub>5</sub>)heteroaryl, (C<sub>6</sub>)heteroaryl, (C<sub>7</sub>)heteroaryl, (C<sub>9</sub>)heteroaryl, (C<sub>4</sub>)heterocycloalkyl, (C<sub>5</sub>)heterocycloalkyl, (C<sub>3</sub>)heterocycloalkenyl, (C<sub>4</sub>)heterocycloalkenyl, (C<sub>5</sub>)heterocycloalkenyl, or toluyl, all of which are optionally substituted with one or more substituents independently selected from the group consisting of R<sub>9</sub>.  
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20
20. A compound according to any one of claims 1-19 wherein A represents methyl, ethyl, allyl, butenyl, phenyl, thiazolyl, pyridyl, tert-butyl, propyl, pentyl, isobutyl, benzo[1,3]dioxolyl, indanyl, naphthyl, anthracenyl, thiazolyl, thiophenyl, oxadiazolyl, isoxazolyl, cyclopropyl, cyclobutyl, [1,2,3]triazolyl, cyclopentyl, cyclohexyl, cyclohexenyl, adamantyl, bicyclo[2.2.1]heptenyl, bicyclo[2.2.1]heptyl, bicyclo[4.1.0]heptenyl, cycloheptyl, cyclooctyl, quinolinyl, tetrahydrofuranyl, 4,5-dihydrooxazolyl, or tetrahydropyranyl, all of which are optionally substituted with one or more substituents independently selected from the group consisting of R<sub>9</sub>.  
25  
30
21. A compound according to any one of claims 1-20 wherein R<sub>9</sub> is hydrogen, nitro, halogen, oxo, cyano, trifluoromethyl, carboxy, alkoxy, alkoxycarbonyl, alkyl, cycloalkyl, alkenyl, alkynyl, alkylthio, heterocycloalkyl, heterocycloalkenyl, heteroaryl, amino, arylsulfonylamino, alkylthioureido, alkylureido, heteroarylsulfonylamino, alkylsulfonylamino, aminocarbonyl, aminocarbonyloxy, aryl, wherein said  
35

alkoxycarbonyl, alkyl, cycloalkyl, alkenyl, alkynyl, alkylthio, heterocycloalkyl, heteroaryl, amino, arylsulfonylamino, alkylthioureido, alkylureido, heteroarylsulfonylamino, alkylsulfonylamino, aminocarbonyl, aminocarbonyloxy, or aryl, are optionally substituted by one or more substituents independently selected from the group consisting of R<sub>7</sub>.

22. A compound according to any one of claims 1-21 wherein R<sub>9</sub> is hydrogen, nitro, fluoro, chloro, bromo, iodo, oxo, cyano, carboxy, ethenyl, ethynyl, propynyl, butynyl, methoxy, aminomethyl, aminoethyl, aminophenyl, morpholine, carbomethoxy, cyano, trifluoromethyl, methyl, tert-butoxy, ethyl, propyl, butyl, pentyl, cyclopentyl, nonenyl, methylsulfanyl, aminocarbonyl-tert-butoxy, methylsulfonylamino, thiazolesulfonylamino, phenylsulfonylamino, -NH-C(S)-NH<sub>2</sub>, -NH-C(O)-NH<sub>2</sub>, morpholinyl, ethylaminocarbonyl, thiophene, amino, or phenyl, wherein said ethenyl, ethynyl, propynyl, butynyl, methoxy, ethoxy, aminomethyl, aminoethyl, morpholine, carbomethoxy, cyano, trifluoromethyl, methyl, ethyl, propyl, butyl, pentyl, cyclopentyl, nonenyl, methylsulfanyl, methylsulfonylamino, thiazolesulfonylamino, phenylsulfonylamino, -NH-C(S)-NH<sub>2</sub>, -NH-C(O)-NH<sub>2</sub>, morpholinyl, ethylaminocarbonyl, thiophene, amino, or phenyl are optionally substituted by one or more substituents independently selected from the group consisting of R<sub>7</sub>.

23. A compound according to any one of claims 1-22 wherein R<sub>7</sub> is hydrogen, halogen, hydroxy, carboxy, carbamoyl, cyano, oxo, thioxo, aryl, alkyl, alkoxy, arylsulfonyl, aminocarbonyl, heterocycloalkyl-heteroaryl, heterocycloalkyl, heteroaryl, heterocycloalkenyl, alkoxycarbonyl, alkoxy, imino, alkoxyimino, alkylcarbonyloxy, alkenylcarbonyloxy, cycloalkyl, or amino, wherein said aryl, alkyl, alkoxy, alkoxyimino, arylsulfonyl, aminocarbonyl, heterocycloalkyl-heteroaryl, heterocycloalkyl, heteroaryl, heterocycloalkenyl, alkoxycarbonyl, alkoxy, imino, alkylcarbonyloxy, alkenylcarbonyloxy, cycloalkyl, or amino are optionally substituted by one or more substituents independently selected from the group consisting of halogen, alkenyloxy, hydroxy, cyano, amino, alkylcarbonyloxy, alkylcarbonylamino, alkyl, alkoxy, aryl, or oxo.

24. A compound according to any one of claims 1-23, wherein R<sub>7</sub> is hydrogen, hydroxy, amino, -NH<sub>2</sub>, diethylamino, cyclohexylamino, *tert*-butylamino, oxo, thioxo, phenyl, pyridyl, acetylamino, fluoro, methyl, ethyl, propyl, butyl, morpholine, methoxy, *tert*-butoxy, cyclopropyl, hydroxyethyl, methoxyimino, -NH-phenyl, trifluoroacetyl, acetyl, ethoxy, 2-acetylamino-4-methyl-thiazole, *tert*-butyl, methylpiperazine, 2-hydroxyethylpiperazinyl, methylthiazol, hydroxypyrrolidine, dimethylamino, toluyl,

trifluoromethyl, methylamino, pyrrolidine, methoxycarbonyl, ethoxycarbonyl, carboxy, carbamoyl, cyano, methylcarbonyloxy, ethylcarbonyloxy, acryloyloxy, cyclopropyl, or 2,5-dioxoimidazolidinyl.

- 5 25. A compound according to any one of claims 1-10 wherein B represents 4-pyridyl optionally substituted in the 2-position with R<sub>8</sub> or B represents phenyl optionally substituted with up to two R<sub>8</sub>, same or different.
- 10 26. A compound according to any one of claims 1-25 selected from the group consisting of
- N-Benzyloxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 1),  
N-(4-Nitro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 2),  
N-(2-Nitro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 3),  
15 2-[(Pyridin-4-ylmethyl)-amino]-N-(3-trifluoromethyl-benzyloxy)-benzamide (compound 4),  
2-[(Pyridin-4-ylmethyl)-amino]-N-(2-trifluoromethyl-benzyloxy)-benzamide (compound 5),  
N2-[(Pyridin-4-ylmethyl)-amino]-N-(4-trifluoromethyl-benzyloxy)-benzamide (compound 6),  
20 N-(4-Methoxy-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 7),  
N-(3-Methoxy-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 8),  
2-[(pyridin-4-ylmethyl)-amino]-N-(3,4,5-trimethoxy-benzyloxy)-benzamide (compound 9),  
N-(4-Chloro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 10),  
25 N-(3-Chloro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 11),  
N-(2-Chloro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 12),  
N-(2-Bromo-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 13),  
N-(2,4-Dichloro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 14),  
N-(3,4-Dichloro-benzyloxy)-2-[(pyridine-4-ylmethyl)-amino]-benzamide (compound 15),  
30 N-(2,6-Dichloro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 16),  
N-(3,5-Dichloro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 17),  
N-(2,3-Dichloro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 18),  
N-(2,5-Dichloro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 19),  
35 N-(2-Fluoro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 20),  
N-(3-Fluoro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 21),  
N-(4-Fluoro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 22),

- N-(2-Chloro-6-fluoro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 23),  
N-(2-Chloro-4-fluoro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 24),  
5 N-(3-Chloro-2-fluoro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 25),  
4-{2-[(pyridin-4-ylmethyl)-amino]-benzoylaminooxymethyl}-benzoic acid methyl ester (compound 26),  
N-(4-cyano-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 27),  
10 2-[(Pyridin-4-ylmethyl)-amino]-N-(quinolin-2-ylmethoxy)-benzamide (compound 28),  
N-Phenoxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 29),  
N-(2-Phenoxy-ethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 30),  
N-(3-Phenyl-propoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 31),  
N-(2-methyl-thiazol-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
15 (compound 32),  
N-Benzyloxy-2-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide (compound 33),  
2-(4-Fluoro-benzylamino)-N-(4-methoxy-benzyloxy)-nicotinamide (compound 34),  
2-(4-methoxy-benzylamino)-N-(4-methoxy-benzyloxy)-nicotinamide (compound 35),  
N-(4-Cyano-phenoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 36),  
20 N-(4-Bromo-phenoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 37),  
N-(4-Fluoro-2,6-dimethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 38),  
N-(4-Fluoro-2-methoxy-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 39),  
25 N-(2,3-Difluoro-4-methyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 40)  
N-(3-Fluoro-4-methyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 41),  
N-(5-Fluoro-2-methyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
30 (compound 42),  
2-[(Pyridin-4-ylmethyl)-amino]-N-(2,3,5,6-tetrafluoro-4-methoxy-benzyloxy)-benzamide (compound 43),  
N-(4-Bromo-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 44),  
N-(2-Iodo-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 45),  
35 N-(3-Iodo-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 46),  
N-(4-Methyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 47)  
N-[2-(3,3-Dimethyl-but-1-enyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 48),

- 2-[(Pyridin-4-ylmethyl)-amino]-N-(2-styryl-benzyloxy)-benzamide (compound 49),  
N-[3-(3-Hydroxy-prop-1-ynyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 50),  
N-[3-(5-Cyano-pent-1-ynyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
5 (compound 51),  
N-[2-(3-Hydroxy-prop-1-ynyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 52),  
Acetic acid 2-[3-(2-{2-[(pyridin-4-ylmethyl)-amino]-benzoylaminooxymethyl}-phenyl)-  
prop-2-ynyloxy]-ethyl ester (compound 53),  
10 N-[2-(3-Methyl-3H-imidazol-4-ylethynyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-  
benzamide (compound 54);  
N-[3-(3-Methyl-3H-imidazol-4-ylethynyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-  
benzamide (compound 55),  
N-(2-Cyanomethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound  
15 56),  
N-(2-Benzenesulfonylmethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 57),  
N-(4-Hydroxymethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound  
58),  
20 N-(4-Fluoro-2-trifluoromethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 59),  
N-(2-Fluoro-6-trifluoromethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 60),  
N-(4-Fluoro-3-trifluoromethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
25 (compound 61),  
N-(4-Methyl-3-trifluoromethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 62),  
N-(4-Methoxy-3-trifluoromethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 63),  
30 N-(2-Methoxy-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 64),  
N-(4-Pentyloxy-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 65),  
2-[(Pyridin-4-ylmethyl)-amino]-N-(2-trifluoromethoxy-benzyloxy)-benzamide  
(compound 66),  
2-[(Pyridin-4-ylmethyl)-amino]-N-(3-trifluoromethoxy-benzyloxy)-benzamide  
35 (compound 67),  
2-[(Pyridin-4-ylmethyl)-amino]-N-(4-trifluoromethoxy-benzyloxy)-benzamide  
(compound 68),

- N-(2-Difluoromethoxy-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 69),  
2-[(Pyridin-4-ylmethyl)-amino]-N-(2-trifluoromethylsulfanyl-benzyloxy)-benzamide  
(compound 70),  
5 N-(6-Chloro-benzo[1,3]dioxol-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 71),  
N-(Benzo[1,3]dioxol-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 72),  
N-(Indan-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 73),  
10 N-(3-Cyano-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 74),  
N-(2-Cyano-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 75),  
N-(4-Cyano-2-fluoro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound  
76),  
N-(3-Bromo-4-cyano-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
15 (compound 77),  
N-(2-Chloro-4-cyano-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 78),  
N-(4-Cyano-2-methoxy-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 79),  
20 N-(4-Cyano-2-iodo-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound  
80),  
N-(2-Bromo-5-cyano-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 81),  
N-(4-Cyano-naphthalen-1-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
25 (compound 82),  
N-(4-Morpholin-4-yl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound  
83),  
N-(2-Morpholin-4-yl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound  
84),  
30 N-(2-Amino-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 85),  
N-(2-Benzenesulfonylamino-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 86),  
3-2-[(Pyridin-4-ylmethyl)-amino]-benzoylaminooxymethyl}-benzoic acid methyl ester  
(compound 87),  
35 3-2-[(Pyridin-4-ylmethyl)-amino]-benzoylaminooxymethyl}-benzoic acid (compound  
88),  
4-2-[(Pyridin-4-ylmethyl)-amino]-benzoylaminooxymethyl}-benzoic acid (compound  
89),

- N-[4-(Morpholine-4-carbonyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 90),  
N-{3-[4-(3-Cyano-pyridin-2-yl)-piperazine-1-carbonyl]-benzyloxy}-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 91),  
5 N-[3-(4-Methyl-piperazine-1-carbonyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 92),  
N-[3-(Morpholine-4-carbonyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 93),  
N-[3-(3-Hydroxy-pyrrolidine-1-carbonyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-  
10 benzamide (compound 94),  
N-[4-(4-Methyl-piperazine-1-carbonyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 95),  
N-[3-(2-dimethylaminoethylcarbamoyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 96),  
15 N-[3-(2-pyrrolidin-1-yl-ethylcarbamoyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 97),  
2-[(Pyridin-4-ylmethyl)-amino]-N-(2-thiophen-2-yl-benzyloxy)-benzamide (compound 98),  
N-(4'-Methoxy-biphenyl-2-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
20 (compound 99),  
N-(Naphthalen-1-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 100),  
N-(1-Phenyl-ethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 101),  
2-[(Pyridin-4-ylmethyl)-amino]-N-[1-(2-trifluoromethyl-phenyl)-ethoxy]-benzamide  
25 (compound 102),  
N-(Pyridin-2-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 103),  
N-(2,6-Dichloro-pyridin-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 104),  
2-[(Pyridin-4-ylmethyl)-amino]-N-(thiazol-4-ylmethoxy)-benzamide (compound 105),  
30 N-(2-Chloro-thiazol-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 106),  
N-(2-Phenyl-thiazol-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 107),  
N-(5-Methyl-isoxazol-3-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
35 (compound 108),  
N-(3,5-Dimethyl-isoxazol-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 109),



- N-(3-Propyl-isoxazol-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 110),  
N-(5-Chloro-thiophen-2-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 111),  
5 N-[2-(4-Cyano-phenyl)-ethoxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 112),  
N-Cyclopentylmethoxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 113),  
N-Cyclopropylmethoxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 114),  
N-Methoxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 115),  
10 N-(2,2-Dimethyl-propoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 116),  
N-(2-Ethyl-butoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 117),  
N-(3-Methyl-butoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 118),  
N-Cyclobutylmethoxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 119),  
N-Cyclohexylmethoxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 120),  
15 N-Cycloheptylmethoxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 121),  
N-Cyclooctylmethoxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 122),  
N-(1-Cyclopentyl-ethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 123),  
N-Cyclohexyloxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 124),  
N-(2-Cyclopropyl-ethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 125),  
20 N-(2-Cyclopentyl-ethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 126),  
N-(3-Cyclopentyl-propoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 127),  
N-(Cyclohex-3-enylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 128),  
25 N-(6-Methyl-cyclohex-3-enylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 129),  
N-(trans-4-Hydroxymethyl-cyclohexylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 130),  
N-(3-Methoxy-cyclohexylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 131),  
30 N-(Adamantan-1-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 132)  
N-(Bicyclo[2.2.1]hept-2-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 133),  
35 N-(6,6-Dimethyl-bicyclo[3.1.1]hept-2-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 134),  
2-[(Pyridin-4-ylmethyl)-amino]-N-(tetrahydro-furan-2-ylmethoxy)-benzamide (compound 135),

- 2-[(Pyridin-4-ylmethyl)-amino]-N-(tetrahydro-furan-3-ylmethoxy)-benzamide  
(compound 136)  
N-(3-Methyl-4,5-dihydro-isoxazol-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-  
benzamide (compound 137),  
5 N-(3-Ethyl-4,5-dihydro-isoxazol-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-  
benzamide (compound 138),  
N-(3-Butyl-4,5-dihydro-isoxazol-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-  
benzamide (compound 139),  
2-[(Pyridin-4-ylmethyl)-amino]-N-(tetrahydro-pyran-2-yloxy)-benzamide (compound  
10 140),  
2-[(Pyridin-4-ylmethyl)-amino]-N-(tetrahydro-pyran-4-ylmethoxy)-benzamide  
(compound 141),  
2-[(Pyridin-4-ylmethyl)-amino]-N-(tetrahydro-pyran-2-ylmethoxy)-benzamide  
(compound 142),  
15 4-Fluoro-N-(2-methyl-thiazol-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 143),  
2-Fluoro-N-(2-methyl-thiazol-4-ylmethoxy)-6-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 144),  
5-Fluoro-N-(2-methyl-thiazol-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
20 (compound 145),  
3-Methoxy-N-(2-methyl-thiazol-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-  
benzamide (compound 146),  
N-(4-Chloro-benzyloxy)-3-methoxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 147),  
25 4,5-Dimethoxy-N-(2-methyl-thiazol-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-  
benzamide (compound 148),  
N-Benzyloxy-4,5-dimethoxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound  
149),  
2-Methyl-N-(2-methyl-thiazol-4-ylmethoxy)-6-[(pyridin-4-ylmethyl)-amino]-benzamide  
30 (compound 150),  
N-Benzyloxy-2-methyl-6-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 151),  
5-Methyl-N-(2-methyl-thiazol-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
(compound 152),  
N-Benzyloxy-5-methyl-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 153),  
35 5-Bromo-N-(4-cyano-2-methoxy-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-  
benzamide (compound 154).  
N-Benzyloxy-5-bromo-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 155),  
N-(4-Cyano-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide (compound 156),

- N-(2-Chloro-4-cyano-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide (compound 157),  
N-(4-Cyano-2-fluoro-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide (compound 158).
- 5 N-(3-Bromo-4-cyano-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide (compound 159),  
N-(2-Iodo-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide (compound 160),  
N-(2-Bromo-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide (compound 161),  
N-(4-Cyano-2-methoxy-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide (compound 162),
- 10 N-(2-Methyl-thiazol-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide (compound 163),  
N-Cyclopentylmethoxy-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide (compound 164),  
N-Benzyloxy-2-(4-fluoro-benzylamino)-nicotinamide (compound 165),  
N-Benzyloxy-2-(4-chloro-benzylamino)-nicotinamide (compound 166).
- 15 N-Benzyloxy-2-(4-methoxy-benzylamino)-nicotinamide (compound 167),  
N-(4-Cyano-2-methoxy-benzyloxy)-3-[(pyridin-4-ylmethyl)-amino]-isonicotinamide (compound 169),  
N-Benzyloxy-3-[(pyridin-4-ylmethyl)-amino]-isonicotinamide (compound 170),
- 20 N-(2-Methyl-thiazol-4-ylmethoxy)-3-[(pyridin-4-ylmethyl)-amino]-isonicotinamide (compound 171).  
N-Benzyloxy-2-(4-fluoro-benzylamino)-benzamide (compound 172),  
N-(4-Cyano-benzyloxy)-2-(4-fluoro-benzylamino)-benzamide (compound 173).  
2-(4-Fluoro-benzylamino)-N-(2-methyl-thiazol-4-ylmethoxy)-benzamide (compound
- 25 174),  
N-Benzyloxy-2-(3-cyano-4-fluoro-benzylamino)-benzamide (compound 175),  
N-(2-Bromo-benzyloxy)-2-(3-cyano-4-fluoro-benzylamino)-benzamide (compound 176),  
5-[(2-Benzyloxycarbamoyl-phenylamino)-methyl]-2-fluoro-benzoic acid methyl ester
- 30 (compound 177),  
5-[(2-Cyclopentylmethoxycarbamoyl-phenylamino)-methyl]-2-fluoro-benzoic acid methyl ester (compound 178).  
2-Fluoro-5-{[2-(4-fluoro-benzyloxycarbamoyl)-phenylamino]-methyl}-benzoic acid methyl ester (compound 179).
- 35 5-{[2-(4-Cyano-benzyloxycarbamoyl)-phenylamino]-methyl}-2-fluoro-benzoic acid methyl ester (compound 180).  
5-[(2-Cyclopentylmethoxycarbamoyl-phenylamino)-methyl]-2-fluoro-benzoic acid (compound 181).

- 2-Fluoro-5-{[2-(4-fluoro-benzyloxycarbamoyl)-phenylamino]-methyl}-benzoic acid (compound 182),  
5-[(2-Benzyloxycarbamoyl-phenylamino)-methyl]-2-fluoro-benzoic acid (compound 183).
- 5 5-[(2-Benzyloxycarbamoyl-phenylamino)-methyl]-2-fluoro-N-(2-hydroxy-ethyl)-benzamide (compound 184),  
5-[(2-Benzyloxycarbamoyl-phenylamino)-methyl]-2-fluoro-N-(3-hydroxy-propyl)-benzamide (compound 185),  
5-[(2-Benzyloxycarbamoyl-phenylamino)-methyl]-2-fluoro-N-(4-hydroxy-butyl)-benzamide (compound 186),  
10 5-[(2-Benzyloxycarbamoyl-phenylamino)-methyl]-N-(3-dimethylamino-propyl)-2-fluoro-benzamide (compound 187).  
5-[(2-Cyclopentylmethoxycarbamoyl-phenylamino)-methyl]-2-fluoro-N-(3-hydroxy-propyl)-benzamide (compound 188),  
15 N-Cyclopentylmethoxy-2-[4-fluoro-3-(4-methyl-piperazine-1-carbonyl)-benzylamino]-benzamide (compound 189),  
N-Cyclopentylmethoxy-2-[4-fluoro-3-(morpholine-4-carbonyl)-benzylamino]-benzamide (compound 190),  
N-Benzyloxy-2-(4-methoxy-benzylamino)-benzamide (compound 191),  
20 2-(4-Methoxy-benzylamino)-N-(2-methyl-thiazol-4-ylmethoxy)-benzamide (compound 192),  
N-Benzyloxy-2-[(4-methoxy-naphthalen-1-ylmethyl)-amino]-benzamide (compound 193),  
N-(4-Cyano-benzyloxy)-2-[(4-methoxy-naphthalen-1-ylmethyl)-amino]-benzamide (compound 194),  
25 2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-(4-fluoro-benzyloxy)-benzamide (compound 195),  
N-(4-Cyano-benzyloxy)-2-[(2,3-dihydro-benzofuran-5-ylmethyl)-amino]-benzamide (compound 196),  
30 2-[(Benzofuran-5-ylmethyl)-amino]-N-(4-cyano-benzyloxy)-benzamide (compound 197),  
2-[(Benzofuran-5-ylmethyl)-amino]-N-benzyloxy-benzamide (compound 198),  
2-[(Benzofuran-5-ylmethyl)-amino]-N-(4-fluoro-benzyloxy)-benzamide (compound 199).
- 35 N-(4-Cyano-benzyloxy)-2-[(2-oxo-2H-chromen-6-ylmethyl)-amino]-benzamide (compound 200),  
N-(4-Chloro-benzyloxy)-2-(4-cyano-benzylamino)-benzamide (compound 201),

- 2-[(3,5-Dichloro-pyridin-4-ylmethyl)-amino]-N-(2-methyl-thiazol-4-ylmethoxy)-benzamide (compound 202),  
N-Benzyloxy-2-[(3,5-dichloro-pyridin-4-ylmethyl)-amino]-benzamide (compound 203),  
2-[(2-Bromo-pyridin-4-ylmethyl)-amino]-N-(4-fluoro-benzyloxy)-benzamide  
5 (compound 204),  
N-(4-Cyano-2-methoxy-benzyloxy)-2-[(2-hydroxy-pyridin-4-ylmethyl)-amino]-benzamide (compound 205),  
2-[(2-Amino-pyridin-4-ylmethyl)-amino]-N-(4-cyano-benzyloxy)-benzamide (compound 206),  
10 N-(4-Fluoro-benzyloxy)-2-[(2-morpholin-4-yl-pyridin-4-ylmethyl)-amino]-benzamide (compound 207),  
N-Cyclopentylmethoxy-2-[(2-methanesulfonylamino-pyridin-4-ylmethyl)-amino]-benzamide (compound 208),  
N-(4-Cyano-benzyloxy)-2-[(2-methanesulfonylamino-pyridin-4-ylmethyl)-amino]-  
15 benzamide (compound 209),  
N-(4-Cyano-benzyloxy)-2-{[2-(3-methyl-ureido)-pyridin-4-ylmethyl]-amino}-benzamide (compound 210),  
N-(4-Cyano-2-methoxy-benzyloxy)-2-{[2-(3-methyl-ureido)-pyridin-4-ylmethyl]-amino}-benzamide (compound 211),  
20 N-Cyclopentylmethoxy-2-{[2-(3-methyl-ureido)-pyridin-4-ylmethyl]-amino}-benzamide (compound 212),  
N-(2,3-Difluoro-4-methyl-benzyloxy)-2-{[2-(3-methyl-ureido)-pyridin-4-ylmethyl]-amino}-benzamide (compound 213)  
[3-(4-{[2-(4-Cyano-benzyloxycarbamoyl)-phenylamino]-methyl}-pyridin-2-yl)-ureido]-  
25 acetic acid ethyl ester (compound 214),  
(3-{4-{[2-Cyclopentylmethoxycarbamoyl-phenylamino]-methyl}-pyridin-2-yl}-ureido)-acetic acid ethyl ester (compound 215),  
[3-(4-{[2-(4-Cyano-benzyloxycarbamoyl)-phenylamino]-methyl}-pyridin-2-yl)-ureido]-acetic acid (compound 216),  
30 (3-{4-{[2-Cyclopentylmethoxycarbamoyl-phenylamino]-methyl}-pyridin-2-yl}-ureido)-acetic acid (compound 217),  
2-Methyl-acrylic acid 2-[3-(4-{[2-(4-cyano-benzyloxycarbamoyl)-phenylamino]-methyl}-pyridin-2-yl)-ureido]-ethyl ester (compound 218),  
2-Methyl-acrylic acid 2-(3-{4-{[2-cyclopentylmethoxycarbamoyl-phenylamino]-methyl}-pyridin-2-yl}-ureido)-ethyl ester (compound 219),  
35 N-(4-Cyano-benzyloxy)-2-({2-[3-(2-hydroxy-ethyl)-ureido]-pyridin-4-ylmethyl}-amino)-benzamide (compound 220),

- N-Cyclopentylmethoxy-2-({2-[3-(2-hydroxy-ethyl)-ureido]-pyridin-4-ylmethyl}-amino)-benzamide (compound 221),  
 Acetic acid (4-{[2-(4-cyano-benzyloxycarbamoyl)-phenylamino]-methyl}-pyridin-2-ylcarbamoyl)-methyl ester (compound 222),  
 5 Acetic acid {4-[(2-cyclopentylmethoxycarbamoyl-phenylamino)-methyl]-pyridin-2-ylcarbamoyl}-methyl ester (compound 223),  
 N-(4-Cyano-benzyloxy)-2-{[2-(2-hydroxy-acetylamino)-pyridin-4-ylmethyl]-amino}-benzamide (compound 224),  
 4-{[2-(4-Cyano-benzyloxycarbamoyl)-phenylamino]-methyl}-pyridin-2-yl)-carbamic  
 10 acid ethyl ester (compound 225),  
 N-(4-Cyano-benzyloxy)-2-{[2-(cyclopropanecarbonyl-amino)-pyridin-4-ylmethyl]-amino}-benzamide (compound 226),  
 N-Cyclopentylmethoxy-2-{[2-(cyclopropanecarbonyl-amino)-pyridin-4-ylmethyl]-amino}-benzamide (compound 227),  
 15 N-Cyclopentylmethoxy-2-({2-[2-(2,5-dioxo-imidazolidin-4-yl)-acetylamino]-pyridin-4-ylmethyl}-amino)-benzamide (compound 228),  
 2-[(2-Amino-pyridin-4-ylmethyl)-amino]-N-cyclopentylmethoxy-benzamide (compound 229),  
 N-Benzyloxy-2-[(quinolin-4-ylmethyl)-amino]-benzamide (compound 230),  
 20 N-(4-Cyano-benzyloxy)-2-[(quinolin-4-ylmethyl)-amino]-benzamide (compound 231),  
 N-(2-Methyl-thiazol-4-ylmethoxy)-2-[(quinolin-4-ylmethyl)-amino]-benzamide (compound 232),  
 N-Cyclopentylmethoxy-2-[(quinolin-4-ylmethyl)-amino]-benzamide (compound 233),  
 2-[(Quinolin-4-ylmethyl)-amino]-N-(tetrahydro-pyran-4-ylmethoxy)-benzamide  
 25 (compound 234),  
 N-(4-Cyano-2-methoxy-benzyloxy)-2-[(6-methoxy-pyridin-3-ylmethyl)-amino]-benzamide (compound 235),  
 N-Benzyloxy-2-[(6-methoxy-pyridin-3-ylmethyl)-amino]-benzamide (compound 236),  
 N-(4-Cyano-benzyloxy)-2-[(6-methoxy-pyridin-3-ylmethyl)-amino]-benzamide  
 30 (compound 237),  
 N-Benzyloxy-2-[(thiazol-5-ylmethyl)-amino]-benzamide (compound 238),  
 N-(2,4-Dichloro-benzyloxy)-2-[(thiazol-5-ylmethyl)-amino]-benzamide (compound 239),  
 N-(2-Methyl-thiazol-4-ylmethoxy)-2-[(5-oxo-4,5-dihydro-1H-[1,2,4]triazol-3-ylmethyl)-amino]-benzamide (compound 240),  
 35 N-Benzyloxy-2-[(5-oxo-4,5-dihydro-1H-[1,2,4]triazol-3-ylmethyl)-amino]-benzamide (compound 241),  
 N-Benzyloxy-2-(2-imidazol-1-yl-ethylamino)-benzamide (compound 242),

- N-Cyclopentylmethoxy-2-(2-imidazol-1-yl-ethylamino)-benzamide (compound 243),  
N-(4-Cyano-benzyloxy)-2-(1-pyridin-4-yl-ethylamino)-benzamide (compound 244),  
2-[[2-(3-Methyl-ureido)-pyridin-4-ylmethyl]-amino]-N-(tetrahydro-pyran-2-ylmethoxy)-benzamide (compound 245),
- 5 N-Cyclopentylmethoxy-2-[[2-(2-methoxy-acetylamino)-pyridin-4-ylmethyl]-amino]-benzamide (compound 246),  
N-(4-Cyano-benzyloxy)-2-[(6-oxo-1,6-dihydro-pyridin-3-ylmethyl)-amino]-benzamide (compound 247),  
N-Cyclopentylmethoxy-2-[(tetrahydro-pyran-4-ylmethyl)-amino]-benzamide
- 10 (compound 248),  
N-(3-Iodo-4-methyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 250),  
N-(4-Ethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 251),  
N-(4-Isopropyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound
- 15 252),  
N-(4-tert-Butyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 253),  
N-(2-Ethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 254),  
N-(2-Non-1-enyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound
- 20 255),  
N-(4-Phenylaminomethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 256),  
N-(4-Diethylaminomethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 257),
- 25 N-(2-Carbamoylmethyl-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 258),  
N-[4-Cyano-2-(2-methoxy-ethoxy)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 259),  
N-(4-Cyanomethyl-2-methoxy-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide
- 30 (compound 260),  
N-(5-Cyano-2-methoxy-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 261),  
2-{2-[(Pyridin-4-ylmethyl)-amino]-benzoylaminooxymethyl}-phenyl)-carbamic acid tert-butyl ester (compound 262),
- 35 N-(2-Acetylamino-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 263),  
N-(2-Benzoylamino-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 264),

- N-(2-Methanesulfonylamino-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 265),  
N-(4-Acetylamino-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 266),  
5 N-(Biphenyl-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 267),  
N-(Biphenyl-2-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 268),  
N-(3'-Methoxy-biphenyl-2-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 269),  
N-(2'-Methoxy-biphenyl-2-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
10 (compound 270),  
N-(3'-Hydroxymethyl-biphenyl-2-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 271),  
N-(3-Phenoxy-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 272),  
N-(Anthracen-9-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound  
15 273),  
N-[4-(2-Methyl-thiazol-4-yl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 274),  
N-(2-Methanesulfonylamino-1-phenyl-ethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 275),  
20 2-[(Pyridin-4-ylmethyl)-amino]-N-[2-(4-trifluoromethyl-phenyl)-thiazol-4-ylmethoxy]-benzamide (compound 276),  
2-[(Pyridin-4-ylmethyl)-amino]-N-(3-p-tolyl-isoxazol-5-ylmethoxy)-benzamide (compound 277),  
N-(3-Methyl-isoxazol-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
25 (compound 278),  
N-(3-Ethyl-isoxazol-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 279),  
N-(3-Butyl-isoxazol-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 280),  
30 N-(3-Pentyl-isoxazol-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 281),  
2-[(Pyridin-4-ylmethyl)-amino]-N-[5-(3-trifluoromethyl-phenyl)-[1,2,4]oxadiazol-3-ylmethoxy]-benzamide (compound 282),  
N-(1-Benzyl-1H-[1,2,3]triazol-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
35 (compound 283),  
N-(1-Cyclopentyl-1H-[1,2,3]triazol-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 284),



- N-(5-Oxo-4,5-dihydro-1H-[1,2,4]triazol-3-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 285),  
N-(3-Phenoxy-propoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 286),  
N-(3-Benzoyloxy-propoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 287),  
5 N-(2-Benzoyloxy-ethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 288),  
N-[2-Hydroxy-3-(4-methoxy-phenoxy)-propoxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 289),  
N-(3-Benzoylamino-propoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 290),  
10 N-(4-Benzoylamino-butoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 291),  
N-(2-Methanesulfonylamino-ethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 292),  
N-(4-Benzenesulfonylamino-butoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
15 (compound 293),  
N-(3-Benzenesulfonylamino-propoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 294),  
N-[2-(4-Cyano-benzenesulfonylamino)-ethoxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 295),  
20 N-[3-(4-Cyano-benzenesulfonylamino)-propoxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 296),  
N-(3-Phenylmethanesulfonylamino-propoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 297),  
N-(2-Phenylmethanesulfonylamino-ethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide  
25 (compound 298),  
N-[3-(2-Acetylamino-4-methyl-thiazole-5-sulfonylamino)-propoxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 299),  
N-[2-(2-Acetylamino-4-methyl-thiazole-5-sulfonylamino)-ethoxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 300),  
30 N-(2-Benzylamino-ethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 301),  
N-(4-Benzylamino-butoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 302),  
(2-{2-[(Pyridin-4-ylmethyl)-amino]-benzoylaminooxy}-ethyl)-carbamic acid tert-butyl  
35 ester (compound 303),  
(3-{2-[(Pyridin-4-ylmethyl)-amino]-benzoylaminooxy}-propyl)-carbamic acid tert-butyl ester (compound 304),

- (4-{2-[(Pyridin-4-ylmethyl)-amino]-benzoylaminoxy}-butyl)-carbamic acid tert-butyl ester (compound 305),  
N-[2-(3-Phenyl-thioureido)-ethoxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 306),  
5 N-[4-(3-Phenyl-thioureido)-butoxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 307),  
N-[2-(3-Phenyl-ureido)-ethoxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 308),  
N-[3-(3-Phenyl-ureido)-propoxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 309),  
10 N-[4-(3-Phenyl-ureido)-butoxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 310),  
N-(2-Amino-ethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 311),  
N-(3-Amino-propoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 312),  
15 N-(4-Amino-butoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 313),  
N-(2-Morpholin-4-yl-2-oxo-ethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 314),  
N-[(2-Methoxy-phenylcarbamoyl)-methoxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 315),  
20 N-tert-Butoxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 316),  
N-Isobutoxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 317),  
N-(2-Methyl-allyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 318),  
N-(3-Methyl-but-2-enyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 319),  
25 N-(4-Hydroxy-pent-2-enyloxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 320),  
N-Cyclopentyloxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 321),  
N-Cyclooctyloxy-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 322),  
N-(2-Cyclohexyl-ethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 323),  
30 N-(2-Methyl-cyclohexylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 324),  
N-(4-Methyl-cyclohexylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 325),  
N-(4-Methoxy-cyclohexylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 326),  
35 N-(3-Methyl-bicyclo[2.2.1]hept-2-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 327),

- N-(Bicyclo[2.2.1]hept-5-en-2-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 328),  
Benzyl-(2-{2-[(pyridin-4-ylmethyl)-amino]-benzoylaminooxymethyl}-cyclohexyl)-carbamic acid tert-butyl ester (compound 329),
- 5 N-(2-Benzylamino-cyclohexylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 330),  
N-(3-Propyl-4,5-dihydro-isoxazol-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 331),  
N-(3-Pentyl-4,5-dihydro-isoxazol-5-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-
- 10 benzamide (compound 332),  
4-Methyl-N-(2-methyl-thiazol-4-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 333),  
N-(5-Cyano-2-methoxy-benzyloxy)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide (compound 334),
- 15 2-Benzylamino-N-benzyloxy-nicotinamide (compound 335),  
2-Benzylamino-N-(4-methoxy-benzyloxy)-nicotinamide (compound 336),  
N-Benzyloxy-2-(2-chloro-benzylamino)-nicotinamide (compound 337),  
2-(2-Chloro-benzylamino)-N-(4-methoxy-benzyloxy)-nicotinamide (compound 338),  
N-Benzyloxy-2-(2,4-dichloro-benzylamino)-nicotinamide (compound 339),
- 20 2-(3,5-Dichloro-benzylamino)-N-(4-methoxy-benzyloxy)-nicotinamide (compound 340),  
N-Benzyloxy-2-(2-methoxy-benzylamino)-nicotinamide (compound 341),  
2-(2-Methoxy-benzylamino)-N-(4-methoxy-benzyloxy)-nicotinamide (compound 342),  
N-Benzyloxy-2-(2-pyridin-4-yl-ethylamino)-nicotinamide (compound 343),  
4-{[3-(4-Methoxy-benzyloxycarbamoyl)-pyridin-2-ylamino]-methyl}-piperidine-1-
- 25 carboxylic acid tert-butyl ester (compound 345),  
N-Benzyloxy-5-[(2-benzyloxycarbamoyl-phenylamino)-methyl]-2-fluoro-benzamide (compound 346),  
N-(2-Bromo-benzyloxy)-2-(3-cyano-4-methoxy-benzylamino)-benzamide (compound 347),
- 30 N-(2-Bromo-benzyloxy)-2-(4-methanesulfonyl-benzylamino)-benzamide (compound 348),  
2-[4-(Methoxyimino-methyl)-benzylamino]-N-(2-methyl-thiazol-4-ylmethoxy)-benzamide (compound 349),  
N-(2-Bromo-benzyloxy)-2-[(2,6-dichloro-pyridin-4-ylmethyl)-amino]-benzamide
- 35 (compound 350),  
N-Benzyloxy-2-[(pyridin-3-ylmethyl)-amino]-benzamide (compound 351),  
N-(2-Methyl-thiazol-4-ylmethoxy)-2-[(pyridin-3-ylmethyl)-amino]-benzamide (compound 352),

- N-(2-Methyl-thiazol-4-ylmethoxy)-2-[(pyridin-2-ylmethyl)-amino]-benzamide  
(compound 353),  
N-Benzyloxy-2-[(pyridin-2-ylmethyl)-amino]-benzamide (compound 354),  
N-Benzyloxy-2-[(3-bromo-pyridin-2-ylmethyl)-amino]-benzamide (compound 355),  
5 2-[(3-Bromo-pyridin-2-ylmethyl)-amino]-N-(2-methyl-thiazol-4-ylmethoxy)-benzamide  
(compound 356),  
N-(2,4-Dichloro-benzyloxy)-2-[(2,6-dimethoxy-pyrimidin-4-ylmethyl)-amino]-  
benzamide (compound 357),  
N-Benzyloxy-2-[(1,3,5-trimethyl-1H-pyrazol-4-ylmethyl)-amino]-benzamide  
10 (compound 358),  
N-(2,4-Dichloro-benzyl)-2-[(1,3,5-trimethyl-1H-pyrazol-4-ylmethyl)-amino]-benzamide  
(compound 359),  
N-Benzyloxy-2-[(1-methyl-1H-imidazol-2-ylmethyl)-amino]-benzamide (compound  
360),  
15 2-[(1-Methyl-1H-imidazol-2-ylmethyl)-amino]-N-(2-methyl-thiazol-4-ylmethoxy)-  
benzamide (compound 361),  
N-Benzyloxy-2-[(3-methyl-3H-imidazol-4-ylmethyl)-amino]-benzamide (compound  
362),  
2-[(3-Methyl-3H-imidazol-4-ylmethyl)-amino]-N-(2-methyl-thiazol-4-ylmethoxy)-  
20 benzamide (compound 363),  
N-Benzyloxy-2-[(5-methyl-3H-imidazol-4-ylmethyl)-amino]-benzamide (compound  
364),  
2-[(5-Methyl-3H-imidazol-4-ylmethyl)-amino]-N-(2-methyl-thiazol-4-ylmethoxy)-  
benzamide (compound 365),  
25 2-[(2-Ethyl-3H-imidazol-4-ylmethyl)-amino]-N-(2-methyl-thiazol-4-ylmethoxy)-  
benzamide (compound 366),  
N-Benzyloxy-2-[(2-ethyl-3H-imidazol-4-ylmethyl)-amino]-benzamide (compound 367),  
N-(2,5-Dichloro-benzyloxy)-2-[(5-oxo-pyrrolidin-2-ylmethyl)-amino]-benzamide  
(compound 368),  
30 N-Benzyloxy-2-[(3-ethyl-4,5-dihydro-isoxazol-5-ylmethyl)-amino]-benzamide  
(compound 369),  
N-Benzyloxy-2-[(3-propyl-4,5-dihydro-isoxazol-5-ylmethyl)-amino]-benzamide  
(compound 370),  
5-[(2-Benzyloxycarbamoyl-phenylamino)-methyl]-3-methyl-4,5-dihydro-isoxazole-5-  
35 carboxylic acid ethyl ester (compound 371),  
5-[(2-Benzyloxycarbamoyl-phenylamino)-methyl]-3-ethyl-4,5-dihydro-isoxazole-5-  
carboxylic acid ethyl ester (compound 372),

- 5-[(2-Benzyloxycarbamoyl-phenylamino)-methyl]-3-propyl-4,5-dihydro-isoxazole-5-carboxylic acid ethyl ester (compound 373),  
N-(4-Cyano-benzyloxy)-2-[(3-methyl-4,5-dihydro-isoxazol-5-ylmethyl)-amino]-benzamide (compound 374),  
5 N-(4-Cyano-benzyloxy)-2-[(3-ethyl-4,5-dihydro-isoxazol-5-ylmethyl)-amino]-benzamide (compound 375),  
N-(4-Cyano-benzyloxy)-2-[(3-propyl-4,5-dihydro-isoxazol-5-ylmethyl)-amino]-benzamide (compound 376),  
5-{[2-(4-Cyano-benzyloxycarbamoyl)-phenylamino]-methyl}-3-methyl-4,5-dihydro-isoxazole-5-carboxylic acid ethyl ester (compound 377),  
10 5-{[2-(4-Cyano-benzyloxycarbamoyl)-phenylamino]-methyl}-3-ethyl-4,5-dihydro-isoxazole-5-carboxylic acid ethyl ester (compound 378),  
5-{[2-(4-Cyano-benzyloxycarbamoyl)-phenylamino]-methyl}-3-propyl-4,5-dihydro-isoxazole-5-carboxylic acid ethyl ester (compound 379),  
15 N-(4-Cyano-benzyloxy)-2-[(3-methyl-isoxazol-5-ylmethyl)-amino]-benzamide (compound 380),  
N-(4-Cyano-benzyloxy)-2-[(3-ethyl-isoxazol-5-ylmethyl)-amino]-benzamide (compound 381),  
20 N-(4-Cyano-benzyloxy)-2-[(3-propyl-isoxazol-5-ylmethyl)-amino]-benzamide (compound 382),  
N-(4-Cyano-benzyloxy)-2-[(3,5-dimethyl-4,5-dihydro-isoxazol-5-ylmethyl)-amino]-benzamide (compound 383),  
25 N-(4-Cyano-benzyloxy)-2-[(3-ethyl-5-methyl-4,5-dihydro-isoxazol-5-ylmethyl)-amino]-benzamide (compound 384),  
N-(4-Cyano-benzyloxy)-2-[(5-methyl-3-propyl-4,5-dihydro-isoxazol-5-ylmethyl)-amino]-benzamide (compound 385),  
N-Benzyloxy-2-[(3-methyl-4,5-dihydro-isoxazol-5-ylmethyl)-amino]-benzamide (compound 386),  
30 N-(4-Cyano-benzyloxy)-2-[2-(3-methyl-4,5-dihydro-isoxazol-5-yl)-ethylamino]-benzamide (compound 387),  
N-Cyclopentylmethoxy-2-[2-(3-methyl-4,5-dihydro-isoxazol-5-yl)-ethylamino]-benzamide (compound 388),  
35 N-(4-Cyano-benzyloxy)-2-[2-(3-ethyl-4,5-dihydro-isoxazol-5-yl)-ethylamino]-benzamide (compound 389),  
N-Cyclopentylmethoxy-2-[2-(3-ethyl-4,5-dihydro-isoxazol-5-yl)-ethylamino]-benzamide (compound 390),

- N-(4-Cyano-benzyloxy)-2-[2-(3-propyl-4,5-dihydro-isoxazol-5-yl)-ethylamino]-benzamide (compound 391),  
 N-Cyclopentylmethoxy-2-[2-(3-propyl-4,5-dihydro-isoxazol-5-yl)-ethylamino]-benzamide (compound 392),  
 5 N-Benzyloxy-2-[2-(2,4-dioxo-imidazolidin-1-yl)-ethylamino]-benzamide (compound 393),  
 N-Benzyloxy-2-[(6-chloro-imidazo[2,1-b]thiazol-5-ylmethyl)-amino]-benzamide (compound 395),  
 N-Benzyloxy-2-[(2-methyl-imidazo[1,2-a]pyrimidin-3-ylmethyl)-amino]-benzamide  
 10 (compound 396),  
 N-Benzyloxy-2-(2-benzyloxy-ethylamino)-benzamide (compound 397),  
 N-(2-Benzyloxycarbamoyl-phenyl)-isonicotinamide (compound 398),  
 N-Benzyloxy-2-(2-pyridin-4-yl-acetylamino)-benzamide (compound 399),  
 N-Benzyloxy-N-methyl-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 400),  
 15 N-(5-Oxo-pyrrolidin-2-ylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 402),  
 4-{2-[(Pyridin-4-ylmethyl)-amino]-benzoylaminoxymethyl}-piperidine-1-carboxylic acid tert-butyl ester (compound 403),  
 N-Cyclopentylmethoxy-2-{[6-(cyclopropanecarbonyl-amino)-pyridin-3-ylmethyl]-amino}-benzamide (compound 404),  
 20 N-Cyclopentylmethoxy-2-[(6-pyrrolidin-1-yl-pyridin-3-ylmethyl)-amino]-benzamide (compound 405),  
 2-[(6-Amino-pyridin-3-ylmethyl)-amino]-N-(4-cyano-benzyloxy)-benzamide (compound 406),  
 25 N-(4-Cyano-benzyloxy)-2-[(6-pyrrolidin-1-yl-pyridin-3-ylmethyl)-amino]-benzamide (compound 407),  
 N-Cyclopentylmethoxy-2-{[2-(cyclopropanecarbonyl-amino)-4-methyl-thiazol-5-ylmethyl]-amino}-benzamide (compound 408),  
 2-[(6-Amino-pyridin-3-ylmethyl)-amino]-N-cyclopentylmethoxy-benzamide (compound  
 30 409),  
 N-[3-(2,2-Dibromo-vinyl)-cyclopentylmethoxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 410),  
 N-(3-Hydroxymethyl-cyclopentylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 411),  
 35 N-(2-Hydroxymethyl-cyclohexylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 412),  
 N-[4-(4-Methyl-piperazin-1-ylmethyl)-benzyloxy]-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 413),

- N-{4-[4-(2-Hydroxy-ethyl)-piperazin-1-ylmethyl]-benzyloxy}-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 414),
- N-(4-Cyano-benzyloxy)-2-{[2-(3-isopropyl-ureido)-pyridin-4-ylmethyl]-amino}-benzamide (compound 415),
- 5 N-(4-Cyano-benzyloxy)-2-{[2-(3-ethyl-ureido)-pyridin-4-ylmethyl]-amino}-benzamide (compound 416),
- N-Cyclopentylmethoxy-2-{[2-(3-isopropyl-ureido)-pyridin-4-ylmethyl]-amino}-benzamide (compound 417),
- N-Cyclopentylmethoxy-2-{[2-(3-propyl-ureido)-pyridin-4-ylmethyl]-amino}-benzamide (compound 418),
- 10 N-Cyclopentylmethoxy-2-{[2-(3-ethyl-ureido)-pyridin-4-ylmethyl]-amino}-benzamide (compound 419),
- N-(3-Hydroxy-cyclopentylmethoxy)-2-[(pyridin-4-ylmethyl)-amino]-benzamide (compound 420),
- 15 N-Cyclopentylmethoxy-2-{[2-(3-methyl-thioureido)-pyridin-4-ylmethyl]-amino}-benzamide (compound 421),
- 2-{[2-(3-tert-Butyl-ureido)-pyridin-4-ylmethyl]-amino}-N-cyclopentylmethoxy-benzamide (compound 422),
- N-(4-Cyano-benzyloxy)-2-{[2-(3-cyclohexyl-ureido)-pyridin-4-ylmethyl]-amino}-benzamide (compound 423),
- 20 2-{[2-(3-Cyclohexyl-ureido)-pyridin-4-ylmethyl]-amino}-N-cyclopentylmethoxy-benzamide (compound 424),
- N-{4-[(2-Cyclopentylmethoxycarbamoyl)-phenylamino]-methyl}-pyridin-2-yl}-isonicotinamide (compound 425),
- 25 1-(2,2,2-Trifluoro-acetyl)-pyrrolidine-2-carboxylic acid {4-[(2-cyclopentylmethoxycarbamoyl)-phenylamino]-methyl}-pyridin-2-yl}-amide (compound 426),
- 1-(2,2,2-Trifluoro-acetyl)-pyrrolidine-2-carboxylic acid (4-{[2-(4-cyano-benzyloxycarbamoyl)-phenylamino]-methyl}-pyridin-2-yl)-amide (compound 427),
- 30 1-Acetyl-piperidine-4-carboxylic acid {4-[(2-cyclopentylmethoxycarbamoyl)-phenylamino]-methyl}-pyridin-2-yl}-amide (compound 428),
- 1-Acetyl-piperidine-4-carboxylic acid (4-{[2-(4-cyano-benzyloxycarbamoyl)-phenylamino]-methyl}-pyridin-2-yl)-amide (compound 429),
- N-Cyclopentylmethoxy-2-[(2,4-dihydroxy-pyrimidin-5-ylmethyl)-amino]-benzamide (compound 430),
- 35 Pyrrolidine-2-carboxylic acid (4-{[2-(4-cyano-benzyloxycarbamoyl)-phenylamino]-methyl}-pyridin-2-yl)-amide (compound 431),

Pyrrolidine-2-carboxylic acid {4-[(2-cyclopentylmethoxycarbamoyl-phenylamino)-methyl]-pyridin-2-yl}-amide (compound 432), and  
2-[(Pyridin-4-ylmethyl)-amino]-N-(4-vinylbenzyloxy)benzamide (compound 433).

- 5 27. A pharmaceutical composition comprising a compound according to any one of claims 1-26 or a pharmaceutically acceptable salt, hydrate, or solvate thereof together with a pharmaceutically acceptable vehicle or excipient.
- 10 28. A composition according to claim 27, wherein the amount of active component is in the range of from about 0.1 to about 99.9% by weight of the composition.
29. A composition according to claims 27 or 28 which is in unit dosage form comprising the active component in an amount in the range of from 0.01 to 10000 mg.
- 15 30. A composition according to any one of claims 27-29 further comprising another therapeutically active compound selected from the group consisting of chemotherapeutic agents, cytotoxic agents and anticancer agents.
- 20 31. A composition according to any one of claims 27-30 further comprising another therapeutically active compound selected from the group consisting of S-triazine derivatives such as altretamine; enzymes such as asparaginase; antibiotic agents such as bleomycin, dactinomycin, daunorubicin, doxorubicin, idarubicin, mitomycin, epirubicin and plicamycin; alkylating agents such as busulfan, carboplatin, carmustine, chlorambucil, cisplatin, cyclophosphamide, dacarbazine, ifosfamide, lomustine, 25 mechlorethamine, melphalan, procarbazine and thiotepe; antimetabolites such as cladribine, cytarabine, floxuridine, fludarabine, fluorouracil, hydroxyurea, mercaptopurine, methotrexate, gemcitabin, pentostatin and thioguanine; antimitotic agents such as etoposide, paclitaxel, teniposide, vinblastine, vinorelbin and vincristine; hormonal agents, e.g. aromatase inhibitors such as aminoglutethimide, corticosteroids, 30 such as dexamethasone and prednisone, and luteinizing hormone releasing hormone (LH-RH); antiestrogens such as tamoxifen, formestan and letrozol; antiandrogens such as flutamide; biological response modifiers, e.g. lymphokines such as aldesleukin and other interleukines; interferon such as interferon- $\alpha$ ; growth factors such as erythropoietin, filgrastim and sagramostim; differentiating agents such as vitamin D 35 derivatives and all-trans retinoic acid; immunoregulators such as levamisole; and monoclonal antibodies, tumour necrosis factor  $\alpha$  and angiogenesis inhibitors.
32. A compound according to any one of claims 1-26 for use in therapy.

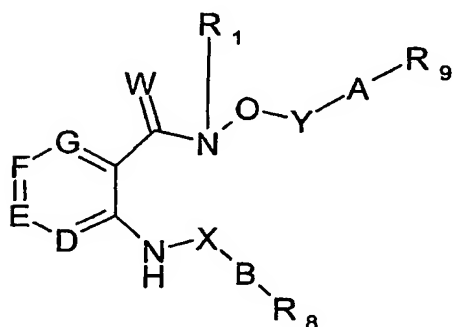


33. A composition according to claim 30 comprising said other therapeutically active compound in a separate container intended for concomitant or sequential administration.

5

34. A compound according to any one of claims 1-26 for use as antineoplastic agent.

35. The use of a compound of general formula I



10

[I]

wherein  $R_1$  represents hydrogen or a straight, branched and/or cyclic, saturated or unsaturated hydrocarbon radical, optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxyl, amino, nitro, and cyano;

15

D represents nitrogen or  $C-R_2$ ;

E represents nitrogen or  $C-R_3$ ;

20

F represents nitrogen or  $C-R_4$ ;

G represents nitrogen or  $C-R_5$ ;

25  $R_2$ ,  $R_3$ ,  $R_4$ , and  $R_5$  are the same or different and individually represent hydrogen, halogen, hydroxyl, amino, nitro, carboxy, cyano, alkoxy, alkylthio, alkoxy carbonyl, alkylcarbonyloxy, alkoxy carbonyloxy, alkylcarbonyl, alkoxy sulfonyloxy, aminosulfonyl, alkylsulfonylamino, formyl, aminocarbonyl, alkylcarbonylamino, or a straight or branched, saturated or unsaturated hydrocarbon radical, optionally substituted with one or more substituents independently selected from the group consisting of halogen,

30

hydroxyl, amino, nitro, carboxy, cyano, alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, alkylsulfonylamino, formyl, aminocarbonyl, and alkylcarbonylamino,

- or  $R_2$  and  $R_3$ , or  $R_3$  and  $R_4$ , or  $R_4$  and  $R_5$  together with the C atoms to which they are attached form a 5- or 6-membered carbocyclic or heterocyclic ring;

W represents oxygen, sulphur, two hydrogen atoms,  $=CH_2$ ,  $=N-O-R_6$  or the group  $=N(R_6)$ ;

- $R_6$  represents hydrogen, cycloalkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkenyl, aryl, heteroaryl, alkenyl, alkynyl, or alkyl;

- X represents a radical of the formula  $-(CH_2)_i-NH-C(O)-(CH_2)_j-$ ,  $-(CH_2)_k-C(O)-(CH_2)_m-$ ,  $-(CH_2)_n-$ ,  $-(CH_2)_p-CH=CH-(CH_2)_q-$ ,  $-(CH_2)_r-O-(CH_2)_s-$ ,  $-(CH_2)_t-NH-(CH_2)_u-$ ,  $-(CH_2)_w-C(O)-NH-(CH_2)_z-$  where i, j, k, m, p, q, r, s, t, u, w, and z are integers from 0-6, and n is an integer from 1-6, wherein said radicals are optionally substituted by one or more substituents independently selected from the group consisting of  $R_7$ ;

- Y represents a radical of the formula  $-(CH_2)_i-NH-C(O)-(CH_2)_j-$ ,  $-(CH_2)_k-C(O)-(CH_2)_m-$ ,  $-(CH_2)_n-$ ,  $-(CH_2)_p-CH=CH-(CH_2)_q-$ ,  $-(CH_2)_r-O-(CH_2)_s-$ ,  $-(CH_2)_t-NH-(CH_2)_u-$ ,  $-(CH_2)_w-C(O)-NH-(CH_2)_z-$  where i, j, k, m, n, p, q, r, s, t, u, w, and z are integers from 0-6, wherein said radicals are optionally substituted by one or more substituents independently selected from the group consisting of  $R_7$ ;

- $R_7$  represents hydrogen, oxo, thioxo, halogen, hydroxyl, amino, imino, nitro, carboxy, carbamoyl, cyano, cycloalkyl, alkyl, aryl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, heterocycloalkyl-heteroaryl, heterocycloalkylcarbonylamino, cycloalkenyl, alkenyl, alkynyl, alkoxy, alkoxyimino, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkenylcarbonyloxy, alkoxycarbonyloxy, alkylureido, alkylthioureido, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, alkylsulfonylamino, alkylsulfonyl, arylsulfonyl, formyl, aminocarbonyl, and alkylcarbonylamino, wherein said amino, imino, cycloalkyl, alkyl, aryl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, heterocycloalkyl-heteroaryl, heterocycloalkylcarbonylamino, cycloalkenyl, alkenyl, alkynyl, alkoxy, alkoxyimino, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkenylcarbonyloxy, alkoxycarbonyloxy, alkylureido, alkylthioureido, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, alkylsulfonylamino, alkylsulfonyl, arylsulfonyl, aminocarbonyl, and alkylcarbonylamino are optionally substituted by one or more substituents independently selected from the group consisting of hydrogen, halogen,

oxo, thioxo, hydroxyl, amino, imino, nitro, carboxy, cyano, alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, alkylsulfonylamino, alkylsulfonyl, arylsulfonyl, aminocarbonyloxy, heteroarylsulfonylamino, formyl, aminocarbonyl, trifluoromethyl, alkylcarbonylamino, heterocycloalkyl, heterocycloalkenyl, aryl, alkylureido, alkylthioureido, heteroaryl, cycloalkyl, alkyl, cycloalkenyl, alkenyl, alkynyl, and alkylaminocarbonyl;

B represents aryl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, or cycloalkenyl, all of which are optionally substituted with one or more substituents independently selected from the group consisting of R<sub>8</sub>;

R<sub>8</sub> represents hydrogen, halogen, hydroxyl, amino, imino, oxo, thioxo, nitro, carboxy, cyano, alkoxy, phenoxy, alkylthio, alkoxycarbonyl, alkoxycarbamoyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, arylsulfonyl, alkylsulfonylamino, formyl, aminocarbonyl, alkylureido, alkylthioureido, aminocarbonyloxy, alkylcarbonylamino, heterocycloalkylcarbonylamino, heterocycloalkyl, heterocycloalkenyl, aryl, heteroaryl, alkylaminocarbonyl, and a straight or branched, saturated or unsaturated hydrocarbon radical, wherein said amino, alkoxy, phenoxy, alkylthio, alkoxycarbonyl, alkoxycarbamoyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, arylsulfonyl, alkylsulfonylamino, aminocarbonyl, alkylureido, alkylthioureido, aminocarbonyloxy, alkylcarbonylamino, heterocycloalkylcarbonylamino, heterocycloalkyl, heterocycloalkenyl, aryl, heteroaryl, alkylaminocarbonyl, and straight or branched, saturated or unsaturated hydrocarbon radical are optionally substituted with one or more substituents independently selected from the group consisting of R<sub>7</sub>;

A represents a straight, branched and/or cyclic, saturated or unsaturated hydrocarbon radical, a heterocycloalkyl, a heterocycloalkenyl, or a heteroaryl, all of which are optionally substituted with one or more substituents independently selected from the group consisting of R<sub>9</sub>;

R<sub>9</sub> represents hydrogen, oxo, halogen, trifluoromethyl, hydroxyl, amino, nitro, carboxy, cyano, alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylureido, alkylthioureido, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, arylsulfonyl, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkylsulfonyl, formyl, aminocarbonyl, alkylcarbonylamino, alkylaminocarbonyl, aminocarbonyloxy, heterocycloalkyl, heterocycloalkenyl, heteroaryl and a straight or branched, saturated or unsaturated hydrocarbon radical, wherein said amino, alkoxy,

alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylureido, alkylthioureido, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, arylsulfonyl, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylaminocarbonyl, aminocarbonyloxy, heterocycloalkyl, heterocycloalkenyl, heteroaryl and straight or branched, saturated or unsaturated hydrocarbon radical are optionally substituted by one or more substituents independently selected from the group consisting of R<sub>7</sub>;

and pharmaceutically acceptable salts, hydrates, or solvates thereof;

provided that the compound is not

2-[(2-chloro-4-iodophenyl)amino]-4-fluoro-N-(2-hydroxyethoxy)-N-methyl-benzamide, 2-[(2,6-dichloro-3-methylphenyl)amino]-N-methoxy)-N-methyl-benzamide, N-methoxy-2-[3-((E)-2-pyridin-2-yl-vinyl)-1H-indazol-6-ylamino]-benzamide, N-isopropoxy-2-[3-((E)-2-pyridin-2-yl-vinyl)-1H-indazol-6-ylamino]-benzamide, or N-allyloxy-2-[3-((E)-2-pyridin-2-yl-vinyl)-1H-indazol-6-ylamino]-benzamide;

for the manufacture of a medicament for the prophylaxis, treatment or amelioration of a disease or condition associated with deregulated angiogenesis, such as cancer.

36. The use of a compound according to any one of claims 1-26 for the manufacture of a medicament for the prophylaxis, treatment or amelioration of a disease or condition associated with deregulated angiogenesis, such as cancer.

37. The use according to claim 35 or 36 wherein the medicament further comprises another therapeutically active compound selected from the group consisting of chemotherapeutic agents, cytotoxic agents, and anticancer agents.

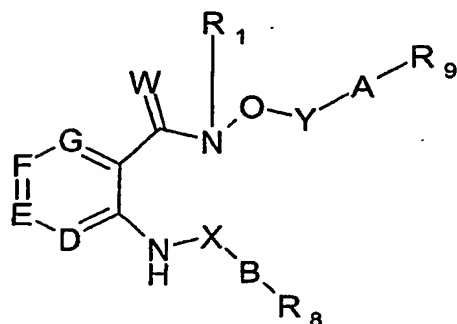
38. The use according to claim 37 wherein the other therapeutically active compound is selected from the group consisting of S-triazine derivatives such as altretamine; enzymes such as asparaginase; antibiotic agents such as bleomycin, dactinomycin, daunorubicin, doxorubicin, idarubicin, mitomycin, epirubicin and plicamycin; alkylating agents such as busulfan, carboplatin, carmustine, chlorambucil, cisplatin, cyclophosphamide, dacarbazine, ifosfamide, lomustine, mechlorethamine, melphalan, procarbazine and thiotepea; antimetabolites such as cladribine, cytarabine, floxuridine, fludarabine, fluorouracil, hydroxyurea, mercaptopurine, methotrexate, gemcitabin, pentostatin and thioguanine; antimitotic agents such as etoposide, paclitaxel, teniposide, vinblastine, vinorelbin and vincristine; hormonal agents, e.g. aromatase

inhibitors such as aminoglutethimide, corticosteroids, such as dexamethasone and prednisone, and luteinizing hormone releasing hormone (LH-RH); antiestrogens such as tamoxifen, formestane and letrozole; antiandrogens such as flutamide; biological response modifiers, e.g. lymphokines such as aldesleukin and other interleukines;

- 5 interferon such as interferon- $\alpha$ ; growth factors such as erythropoietin, filgrastim and sargramostim; differentiating agents such as vitamin D derivatives and all-trans retinoic acid; immunoregulators such as levamisole; and monoclonal antibodies, tumour necrosis factor  $\alpha$  and angiogenesis inhibitors.

- 10 39. The use according to claim 37 wherein the other therapeutically active compound is provided in a separate container and intended for concomitant or sequential administration.

- 15 40. A method of preventing, treating or ameliorating a disease or condition associated with deregulated angiogenesis, such as cancer, the method comprising administering to a patient in need thereof an effective amount of a compound general formula I



[I]

- 20 wherein R<sub>1</sub> represents hydrogen or a straight, branched and/or cyclic, saturated or unsaturated hydrocarbon radical, optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxyl, amino, nitro, and cyano;

- 25 D represents nitrogen or C-R<sub>2</sub>;

E represents nitrogen or C-R<sub>3</sub>;

F represents nitrogen or C-R<sub>4</sub>;

G represents nitrogen or C-R<sub>5</sub>;

R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, and R<sub>5</sub> are the same or different and individually represent hydrogen, halogen, hydroxyl, amino, nitro, carboxy, cyano, alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, alkylsulfonylamino, formyl, aminocarbonyl, alkylcarbonylamino, or a straight or branched, saturated or unsaturated hydrocarbon radical, optionally substituted with one or more substituents independently selected from the group consisting of halogen, hydroxyl, amino, nitro, carboxy, cyano, alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, alkylsulfonylamino, formyl, aminocarbonyl, and alkylcarbonylamino, or R<sub>2</sub> and R<sub>3</sub>, or R<sub>3</sub> and R<sub>4</sub>, or R<sub>4</sub> and R<sub>5</sub> together with the C atoms to which they are attached form a 5- or 6-membered carbocyclic or heterocyclic ring;

W represents oxygen, sulphur, two hydrogen atoms, =CH<sub>2</sub>, =N-O-R<sub>6</sub> or the group =N(R<sub>6</sub>);

R<sub>6</sub> represents hydrogen, cycloalkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkenyl, aryl, heteroaryl, alkenyl, alkynyl, or alkyl;

X represents a radical of the formula -(CH<sub>2</sub>)<sub>i</sub>-NH-C(O)-(CH<sub>2</sub>)<sub>j</sub>-, -(CH<sub>2</sub>)<sub>k</sub>-C(O)-(CH<sub>2</sub>)<sub>m</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>p</sub>-CH=CH-(CH<sub>2</sub>)<sub>q</sub>-, -(CH<sub>2</sub>)<sub>r</sub>-O-(CH<sub>2</sub>)<sub>s</sub>-, -(CH<sub>2</sub>)<sub>t</sub>-NH-(CH<sub>2</sub>)<sub>u</sub>-, -(CH<sub>2</sub>)<sub>w</sub>-C(O)-NH-(CH<sub>2</sub>)<sub>z</sub>- where i, j, k, m, p, q, r, s, t, u, w, and z are integers from 0-6, and n is an integer from 1-6, wherein said radicals are optionally substituted by one or more substituents independently selected from the group consisting of R<sub>7</sub>;

Y represents a radical of the formula -(CH<sub>2</sub>)<sub>i</sub>-NH-C(O)-(CH<sub>2</sub>)<sub>j</sub>-, -(CH<sub>2</sub>)<sub>k</sub>-C(O)-(CH<sub>2</sub>)<sub>m</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>p</sub>-CH=CH-(CH<sub>2</sub>)<sub>q</sub>-, -(CH<sub>2</sub>)<sub>r</sub>-O-(CH<sub>2</sub>)<sub>s</sub>-, -(CH<sub>2</sub>)<sub>t</sub>-NH-(CH<sub>2</sub>)<sub>u</sub>-, -(CH<sub>2</sub>)<sub>w</sub>-C(O)-NH-(CH<sub>2</sub>)<sub>z</sub>- where i, j, k, m, n, p, q, r, s, t, u, w, and z are integers from 0-6, wherein said radicals are optionally substituted by one or more substituents independently selected from the group consisting of R<sub>7</sub>;

R<sub>7</sub> represents hydrogen, oxo, thioxo, halogen, hydroxyl, amino, imino, nitro, carboxy, carbamoyl, cyano, cycloalkyl, alkyl, aryl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, heterocycloalkyl-heteroaryl, heterocycloalkylcarbonylamino, cycloalkenyl, alkenyl, alkynyl, alkoxy, alkoxyimino, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkenylcarbonyloxy, alkoxycarbonyloxy, alkylureido, alkylthioureido, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, alkylsulfonylamino, alkylsulfonyl,

- arylsulfonyl, formyl, aminocarbonyl, and alkylcarbonylamino, wherein said amino, imino, cycloalkyl, alkyl, aryl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, heterocycloalkyl-heteroaryl, heterocycloalkylcarbonylamino, cycloalkenyl, alkenyl, alkynyl, alkoxy, alkoxyimino, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, 5 alkenylcarbonyloxy, alkoxycarbonyloxy, alkylureido, alkylthioureido, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, alkylsulfonylamino, alkylsulfonyl, arylsulfonyl, aminocarbonyl, and alkylcarbonylamino are optionally substituted by one or more substituents independently selected from the group consisting of hydrogen, halogen, oxo, thioxo, hydroxyl, amino, imino, nitro, carboxy, cyano, alkoxy, alkylthio, 10 alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, alkylsulfonylamino, alkylsulfonyl, arylsulfonyl, aminocarbonyloxy, heteroarylsulfonylamino, formyl, aminocarbonyl, trifluoromethyl, alkylcarbonylamino, heterocycloalkyl, heterocycloalkenyl, aryl, alkylureido, alkylthioureido, heteroaryl, cycloalkyl, alkyl, cycloalkenyl, alkenyl, alkynyl, and alkylaminocarbonyl;
- 15 B represents aryl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, or cycloalkenyl, all of which are optionally substituted with one or more substituents independently selected from the group consisting of R<sub>8</sub>;
- 20 R<sub>8</sub> represents hydrogen, halogen, hydroxyl, amino, imino, oxo, thioxo, nitro, carboxy, cyano, alkoxy, phenoxy, alkylthio, alkoxycarbonyl, alkoxycarbamoyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, arylsulfonyl, alkylsulfonylamino, formyl, aminocarbonyl, alkylureido, alkylthioureido, aminocarbonyloxy, alkylcarbonylamino, heterocycloalkylcarbonylamino, 25 heterocycloalkyl, heterocycloalkenyl, aryl, heteroaryl, alkylaminocarbonyl, and a straight or branched, saturated or unsaturated hydrocarbon radical, wherein said amino, alkoxy, phenoxy, alkylthio, alkoxycarbonyl, alkoxycarbamoyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, arylsulfonyl, alkylsulfonylamino, aminocarbonyl, alkylureido, alkylthioureido, aminocarbonyloxy, 30 alkylcarbonylamino, heterocycloalkylcarbonylamino, heterocycloalkyl, heterocycloalkenyl, aryl, heteroaryl, alkylaminocarbonyl, and straight or branched, saturated or unsaturated hydrocarbon radical are optionally substituted with one or more substituents independently selected from the group consisting of R<sub>7</sub>;
- 35 A represents a straight, branched and/or cyclic, saturated or unsaturated hydrocarbon radical, a heterocycloalkyl, a heterocycloalkenyl, or a heteroaryl, all of which are optionally substituted with one or more substituents independently selected from the group consisting of R<sub>9</sub>;

R<sub>9</sub> represents hydrogen, oxo, halogen, trifluoromethyl, hydroxyl, amino, nitro, carboxy, cyano, alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylureido, alkylthioureido, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, 5 arylsulfonyl, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkylsulfonyl, formyl, aminocarbonyl, alkylcarbonylamino, alkylaminocarbonyl, aminocarbonyloxy, heterocycloalkyl, heterocycloalkenyl, heteroaryl and a straight or branched, saturated or unsaturated hydrocarbon radical, wherein said amino, alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, alkylureido, 10 alkylthioureido, alkylcarbonyl, alkoxysulfonyloxy, aminosulfonyl, arylsulfonyl, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylaminocarbonyl, aminocarbonyloxy, heterocycloalkyl, heterocycloalkenyl, heteroaryl and straight or branched, saturated or unsaturated hydrocarbon radical are optionally substituted by one or more substituents 15 independently selected from the group consisting of R<sub>7</sub>;

and pharmaceutically acceptable salts, hydrates, or solvates thereof;

provided that the compound is not

20 2-[(2-chloro-4-iodophenyl)amino]-4-fluoro-N-(2-hydroxyethoxy)-N-methyl-benzamide, 2-[(2,6-dichloro-3-methylphenyl)amino]-N-methoxy)-N-methyl-benzamide, N-methoxy-2-[3-((E)-2-pyridin-2-yl-vinyl)-1H-indazol-6-ylamino]-benzamide, N-isopropoxy-2-[3-((E)-2-pyridin-2-yl-vinyl)-1H-indazol-6-ylamino]-benzamide, or N-allyloxy-2-[3-((E)-2-pyridin-2-yl-vinyl)-1H-indazol-6-ylamino]-benzamide.

25 41. A method of preventing, treating or ameliorating a disease or condition associated with deregulated angiogenesis, such as cancer, the method comprising administering to a patient in need thereof an effective amount of a compound according to any one of claims 1-26.

30 42. A method according to claim 40 or 41 further comprising concomitant or sequential administration of one or more other therapeutically active compounds selected from the group consisting of chemotherapeutic agents, cytotoxic agents and anticancer agents.

35 43. A method according to claim 42 wherein said other therapeutically active compounds are selected from the group consisting of S-triazine derivatives such as altretamine; enzymes such as asparaginase; antibiotic agents such as bleomycin, dactinomycin, daunorubicin, doxorubicin, idarubicin, mitomycin, epirubicin and



- plicamycin; alkylating agents such as busulfan, carboplatin, carmustine, chlorambucil, cisplatin, cyclophosphamide, dacarbazine, ifosfamide, lomustine, mechlorethamine, melphalan, procarbazine and thiotepa; antimetabolites such as cladribine, cytarabine, floxuridine, fludarabine, fluorouracil, hydroxyurea, mercaptopurine, methotrexate, gemcitabin, pentostatin and thioguanine; antimitotic agents such as etoposide, paclitaxel, teniposide, vinblastine, vinorelbin and vincristine; hormonal agents, e.g. aromatase inhibitors such as aminoglutethimide, corticosteroids, such as dexamethasone and prednisone, and luteinizing hormone releasing hormone (LH-RH); antiestrogens such as tamoxifen, formestane and letrozol; antiandrogens such as flutamide; biological response modifiers, e.g. lymphokines such as aldesleukin and other interleukines; interferon such as interferon- $\alpha$ ; growth factors such as erythropoietin, filgrastim and sargramostim; differentiating agents such as vitamin D derivatives and all-trans retinoic acid; immunoregulators such as levamisole; and monoclonal antibodies; tumour necrosis factor  $\alpha$  and angiogenesis inhibitors.
44. A method for treating or ameliorating cancer comprising administering an effective amount of a compound according to any one of claims 1-26 optionally in conjunction with radiation therapy.
45. A method of reducing the metastatic potential of a tumour, the method comprising administering to a patient in need thereof an effective amount of a compound according to any one of claims 1-26.
46. A method of treating or ameliorating tumours, the method comprising administering to a patient in need thereof an effective amount of a compound according to any one of claims 1-26.
47. A method of treating or ameliorating a condition or disease characterised by abnormal angiogenesis or vascular malfunction, rosacea, atherosclerosis, haemangioma, haemangioendothelioma, warts, pyogenic granulomas, hair growth, scar keloids, allergic oedema, dysfunctional uterine bleeding, follicular cysts, ovarian hyperstimulation, endometriosis, obesity, arthritis, rheumatoid arthritis, synovitis, bone and cartilage destruction, osteomyelitis, pannus growth, osteophyte formation, inflammatory and infectious diseases (hepatitis, pneumonia, glomerulonephritis), asthma, nasal polyps, transplantation, liver regeneration, retinopathy, diabetic retinopathy, neovascular glaucoma, endometriosis, psoriasis, lymphoproliferative disorders, thyroiditis, thyroid enlargement, obstructive lung disease, or cerebral ischaemia reperfusion injury, Alzheimer's disease, and eye diseases such as acute

macular degeneration, age-related macular degeneration, choroidal neovascularisation, retinitis, cytomegalovirus retinitis, macular edema and ischemic retinopathy, the method comprising administering to a patient in need thereof an effective amount of a compound according to any one of claims 1-26.

- 5
48. A compound selected from the group consisting of  
O-(3,4,5-Trimethoxy-benzyl)-hydroxylamine (preparation 8),  
O-(4-Chloro-benzyl)-hydroxylamine (preparation 9),  
4-Aminooxymethyl-benzonitrile (preparation 10),  
10 O-Quinolin-2-ylmethyl-hydroxylamine (preparation 11),  
O-(2-Methyl-thiazol-4-ylmethyl)-hydroxylamine (preparation 12),  
O-(4-Fluoro-2,6-dimethyl-benzyl)-hydroxylamine (preparation 13),  
O-(4-Fluoro-2-methoxy-benzyl)-hydroxylamine (preparation 14),  
O-(2,3-Difluoro-4-methyl-benzyl)-hydroxylamine (preparation 15),  
15 O-(3-Fluoro-4-methyl-benzyl)-hydroxylamine (preparation 16),  
O-(5-Fluoro-2-methyl-benzyl)-hydroxylamine (preparation 17),  
O-(2,3,5,6-Tetrafluoro-4-methoxy-benzyl)-hydroxylamine (preparation 18),  
O-(4-Bromo-benzyl)-hydroxylamine (preparation 19),  
O-(2-Iodo-benzyl)-hydroxylamine (preparation 20),  
20 O-(3-Iodo-benzyl)-hydroxylamine (preparation 21),  
(2-Aminooxymethyl-phenyl)-acetonitrile (preparation 22),  
O-(2-Benzenesulfonylmethyl-benzyl)-hydroxylamine (preparation 23),  
(4-Aminooxymethyl-phenyl)-methanol (preparation 24),  
O-(4-Fluoro-2-trifluoromethyl-benzyl)-hydroxylamine (preparation 25),  
25 O-(2-Fluoro-6-trifluoromethyl-benzyl)-hydroxylamine (preparation 26),  
O-(4-Fluoro-3-trifluoromethyl-benzyl)-hydroxylamine (preparation 27),  
O-(4-Methyl-3-trifluoromethyl-benzyl)-hydroxylamine (preparation 28),  
O-(4-Methoxy-3-trifluoromethyl-benzyl)-hydroxylamine (preparation 29),  
O-(2-Methoxy-benzyl)-hydroxylamine (preparation 30),  
30 O-(4-Pentyloxy-benzyl)-hydroxylamine (preparation 31),  
O-(2-Trifluoromethoxy-benzyl)-hydroxylamine (preparation 32),  
O-(3-Trifluoromethoxy-benzyl)-hydroxylamine (preparation 33),  
O-(4-Trifluoromethoxy-benzyl)-hydroxylamine (preparation 34),  
O-(2-Difluoromethoxy-benzyl)-hydroxylamine (preparation 35),  
35 O-(2-Trifluoromethylsulfanyl-benzyl)-hydroxylamine (preparation 36),  
O-(6-Chloro-benzo[1,3]dioxol-5-ylmethyl)-hydroxylamine (preparation 37),  
O-Benzo[1,3]dioxol-5-ylmethyl-hydroxylamine (preparation 38),  
O-Indan-5-ylmethyl-hydroxylamine (preparation 39),

- 3-Aminooxymethyl-benzonitrile (preparation 40),  
2-Aminooxymethyl-benzonitrile (preparation 41),  
4-Aminooxymethyl-3-fluoro-benzonitrile (preparation 42),  
4-Aminooxymethyl-2-bromo-benzonitrile (preparation 43),  
5 4-Aminooxymethyl-3-chloro-benzonitrile (preparation 44),  
4-Aminooxymethyl-3-methoxy-benzonitrile (preparation 45),  
4-Aminooxymethyl-3-iodo-benzonitrile (preparation 46),  
3-Aminooxymethyl-4-bromo-benzonitrile (preparation 47),  
4-Aminooxymethyl-naphthalene-1-carbonitrile (preparation 48),  
10 O-(4-Morpholin-4-yl-benzyl)-hydroxylamine (preparation 49),  
O-(2-Morpholin-4-yl-benzyl)-hydroxylamine (preparation 50),  
O-(2-Amino-benzyl)-hydroxylamine (preparation 51),  
3-Aminooxymethyl-benzoic acid methyl ester (preparation 52),  
O-Naphthalen-1-ylmethyl-hydroxylamine (preparation 53),  
15 O-(1-Phenyl-ethyl)-hydroxylamine (preparation 54),  
O-[1-(2-Trifluoromethyl-phenyl)-ethyl]-hydroxylamine (preparation 55),  
O-Pyridin-2-ylmethyl-hydroxylamine (preparation 56),  
O-(2,6-Dichloro-pyridin-4-ylmethyl)-hydroxylamine (preparation 57),  
O-Thiazol-4-ylmethyl-hydroxylamine (preparation 58),  
20 O-(2-Chloro-thiazol-5-ylmethyl)-hydroxylamine (preparation 59),  
O-(2-Phenyl-thiazol-4-ylmethyl)-hydroxylamine (preparation 60),  
O-(5-Methyl-isoxazol-3-ylmethyl)-hydroxylamine (preparation 61),  
O-(3,5-Dimethyl-isoxazol-4-ylmethyl)-hydroxylamine (preparation 62),  
O-(3-Propyl-isoxazol-5-ylmethyl)-hydroxylamine (preparation 63),  
25 O-(5-Chloro-thiophen-2-ylmethyl)-hydroxylamine (preparation 64),  
4-(2-Aminooxy-ethyl)-benzonitrile (preparation 65),  
O-Cyclopentylmethyl-hydroxylamine (preparation 66),  
O-Cyclopropylmethyl-hydroxylamine (preparation 67),  
O-(2,2-Dimethyl-propyl)-hydroxylamine (preparation 68),  
30 O-(2-Ethyl-butyl)-hydroxylamine (preparation 69),  
O-Isobutyl-hydroxylamine (preparation 70),  
O-Cyclobutylmethyl-hydroxylamine (preparation 71),  
O-Cyclohexylmethyl-hydroxylamine (preparation 72),  
O-Cycloheptylmethyl-hydroxylamine (preparation 73),  
35 O-Cyclooctylmethyl-hydroxylamine (preparation 74),  
O-(1-Cyclopentyl-ethyl)-hydroxylamine (preparation 75),  
O-Cyclohexyl-hydroxylamine (preparation 76),  
O-(2-Cyclopropyl-ethyl)-hydroxylamine (preparation 77),

- O-(2-Cyclopentyl-ethyl)-hydroxylamine (preparation 78),  
O-(3-Cyclopentyl-propyl)-hydroxylamine (preparation 79),  
O-Cyclohex-3-enylmethyl-hydroxylamine (preparation 80),  
O-(6-Methyl-cyclohex-3-enylmethyl)-hydroxylamine (preparation 81),  
5 O-(4-Aminooxymethyl-cyclohexyl)-methanol (preparation 82),  
O-(3-Methoxy-cyclohexylmethyl)-hydroxylamine (preparation 83),  
O-Adamantan-1-ylmethyl-hydroxylamine (preparation 84),  
O-Bicyclo[2.2.1]hept-2-ylmethyl-hydroxylamine (preparation 85),  
O-(6,6-Dimethyl-bicyclo[3.1.1]hept-2-ylmethyl)-hydroxylamine (preparation 86),  
10 O-(Tetrahydro-furan-2-ylmethyl)-hydroxylamine (preparation 87),  
O-(Tetrahydro-furan-3-ylmethyl)-hydroxylamine (preparation 88),  
O-(3-Methyl-4,5-dihydro-isoxazol-5-ylmethyl)-hydroxylamine (preparation 89),  
O-(3-Ethyl-4,5-dihydro-isoxazol-5-ylmethyl)-hydroxylamine (preparation 90),  
O-(3-Butyl-4,5-dihydro-isoxazol-5-ylmethyl)-hydroxylamine (preparation 91),  
15 O-(Tetrahydro-pyran-4-ylmethyl)-hydroxylamine (preparation 92),  
O-(Tetrahydro-pyran-2-ylmethyl)-hydroxylamine (preparation 93),  
O-(3-Iodo-4-methyl-benzyl)-hydroxylamine (preparation 94),  
O-(4-Ethyl-benzyl)-hydroxylamine (preparation 95),  
O-(4-Isopropyl-benzyl)-hydroxylamine (preparation 96),  
20 O-(4-tert-Butyl-benzyl)-hydroxylamine (preparation 97),  
O-(2-Ethyl-benzyl)-hydroxylamine (preparation 98),  
O-(2-Non-1-enyl-benzyl)-hydroxylamine (preparation 99),  
O-(4-Phenylaminomethyl-benzyl)-hydroxylamine (preparation 100),  
O-(4-Diethylaminomethyl-benzyl)-hydroxylamine (preparation 101),  
25 2-(2-Aminooxymethyl-phenyl)-acetamide (preparation 102),  
4-Aminooxymethyl-3-(2-methoxy-ethoxy)-benzonitrile (preparation 103),  
(4-Aminooxymethyl-3-methoxy-phenyl)-acetonitrile (preparation 104),  
3-Aminooxymethyl-4-methoxy-benzonitrile (preparation 105),  
(2-Aminooxymethyl-phenyl)-carbamic acid tert-butyl ester (preparation 106),  
30 N-(2-Aminooxymethyl-phenyl)-acetamide (preparation 107),  
N-(2-Aminooxymethyl-phenyl)-benzamide (preparation 108),  
N-(2-Aminooxymethyl-phenyl)-methanesulfonamide (preparation 109),  
N-(2-Aminooxymethyl-phenyl)-acetamide (preparation 110),  
O-Biphenyl-4-ylmethyl-hydroxylamine (preparation 111),  
35 O-Biphenyl-2-ylmethyl-hydroxylamine (preparation 112),  
O-(3'-Methoxy-biphenyl-2-ylmethyl)-hydroxylamine (preparation 113),  
O-(2'-Methoxy-biphenyl-2-ylmethyl)-hydroxylamine (preparation 114),  
(2'-Aminooxymethyl-biphenyl-3-yl)-methanol (preparation 115),

- O-(3-Phenoxy-benzyl)-hydroxylamine (preparation 116),  
O-Anthracen-9-ylmethyl-hydroxylamine (preparation 117),  
O-[4-(2-Methyl-thiazol-4-yl)-benzyl]-hydroxylamine (preparation 118),  
N-(2-Aminooxy-2-phenyl-ethyl)-methanesulfonamide (preparation 119),  
5 O-[2-(4-Trifluoromethyl-phenyl)-thiazol-4-ylmethyl]-hydroxylamine (preparation 120),  
O-(3-p-Tolyl-isoxazol-5-ylmethyl)-hydroxylamine (preparation 121),  
O-(3-Methyl-isoxazol-5-ylmethyl)-hydroxylamine (preparation 122),  
O-(3-Ethyl-isoxazol-5-ylmethyl)-hydroxylamine (preparation 123),  
O-(3-Butyl-isoxazol-5-ylmethyl)-hydroxylamine (preparation 124),  
10 O-(3-Pentyl-isoxazol-5-ylmethyl)-hydroxylamine (preparation 125),  
O-[5-(3-Trifluoromethyl-phenyl)-[1,2,4]oxadiazol-3-ylmethyl]-hydroxylamine  
(preparation 126),  
O-(1-Benzyl-1H-[1,2,3]triazol-4-ylmethyl)-hydroxylamine (preparation 127),  
O-(1-Cyclopentyl-1H-[1,2,3]triazol-4-ylmethyl)-hydroxylamine (preparation 128),  
15 5-Aminooxymethyl-2,4-dihydro-[1,2,4]triazol-3-one (preparation 129),  
O-(3-Phenoxy-propyl)-hydroxylamine (preparation 130),  
O-(3-Benzyloxy-propyl)-hydroxylamine (preparation 131),  
O-(2-Benzyloxy-ethyl)-hydroxylamine (preparation 132),  
N-(3-Aminooxy-propyl)-benzamide (preparation 133),  
20 N-(4-Aminooxy-butyl)-benzamide (preparation 134),  
N-(2-Aminooxy-ethyl)-methanesulfonamide (preparation 135),  
N-(4-Aminooxy-butyl)-benzenesulfonamide (preparation 136),  
N-(3-Aminooxy-propyl)-benzenesulfonamide (preparation 137),  
N-(2-Aminooxy-ethyl)-4-cyano-benzenesulfonamide (preparation 138),  
25 N-(3-Aminooxy-propyl)-4-cyano-benzenesulfonamide (preparation 139),  
N-(3-Aminooxy-propyl)-C-phenyl-methanesulfonamide (preparation 140),  
N-(2-Aminooxy-ethyl)-C-phenyl-methanesulfonamide (preparation 141),  
N-[5-(3-Aminooxy-propylsulfamoyl)-4-methyl-thiazol-2-yl]-acetamide (preparation  
142),  
30 N-[5-(2-Aminooxy-ethylsulfamoyl)-4-methyl-thiazol-2-yl]-acetamide (preparation 143),  
O-(2-Benzylamino-ethyl)-hydroxylamine (preparation 144),  
O-(4-Benzylamino-butyl)-hydroxylamine (preparation 145),  
(2-Aminooxy-ethyl)-carbamic acid tert-butyl ester (preparation 146),  
(3-Aminooxy-propyl)-carbamic acid tert-butyl ester (preparation 147),  
35 (4-Aminooxy-butyl)-carbamic acid tert-butyl ester (preparation 148),  
O-Isobutyl-hydroxylamine (preparation 149),  
O-(2-Methyl-allyl)-hydroxylamine (preparation 150),  
5-Aminooxy-pent-3-en-2-ol (preparation 151),

- O-Cyclopentyl-hydroxylamine (preparation 152),  
O-Cyclooctyl-hydroxylamine (preparation 153),  
O-(2-Cyclohexyl-ethyl)-hydroxylamine (preparation 154),  
O-(2-Methyl-cyclohexylmethyl)-hydroxylamine (preparation 155),  
5 O-(4-Methyl-cyclohexylmethyl)-hydroxylamine (preparation 156),  
O-(4-Methoxy-cyclohexylmethyl)-hydroxylamine (preparation 157),  
O-(3-Methyl-bicyclo[2.2.1]hept-2-ylmethyl)-hydroxylamine (preparation 158),  
O-Bicyclo[2.2.1]hept-5-en-2-ylmethyl-hydroxylamine (preparation 159),  
(2-Aminooxymethyl-cyclohexyl)-benzyl-carbamic acid tert-butyl ester (preparation  
10 160),  
O-(3-Propyl-4,5-dihydro-isoxazol-5-ylmethyl)-hydroxylamine (preparation 161),  
O-(3-Pentyl-4,5-dihydro-isoxazol-5-ylmethyl)-hydroxylamine (preparation 162),  
5-Aminooxymethyl-pyrrolidin-2-one (preparation 163),  
4-Aminooxymethyl-piperidine-1-carboxylic acid tert-butyl ester (preparation 164),  
15 O-[3-(2,2-Dibromo-vinyl)-cyclopentylmethyl]-hydroxylamine (preparation 165),  
(3-Aminooxymethyl-cyclopentyl)-methanol (preparation 166),  
(2-Aminooxymethyl-cyclohexyl)-methanol (preparation 167),  
O-[4-(4-Methyl-piperazin-1-ylmethyl)-benzyl]-hydroxylamine (preparation 168),  
2-[4-(4-Aminooxymethyl-benzyl)-piperazin-1-yl]-ethanol (preparation 169), and  
20 3-Aminooxymethyl-cyclopentanol (preparation 170);  
and salts with hydrochloric acid, hydrobromic acid, or sulphuric acids thereof.

49. A compound selected from the group consisting of  
4-Fluoro-2-[(pyridin-4-ylmethyl)-amino]-benzoic acid (preparation 1A),  
25 2-Fluoro-6-[(pyridin-4-ylmethyl)-amino]-benzoic acid (preparation 1B),  
5-Fluoro-2-[(pyridin-4-ylmethyl)-amino]-benzoic acid (preparation 1C),  
3-Methoxy-2-[(pyridin-4-ylmethyl)-amino]-benzoic acid (preparation 1D),  
4,5-Dimethoxy-2-[(pyridin-4-ylmethyl)-amino]-benzoic acid (preparation 1E),  
2-Methyl-6-[(pyridin-4-ylmethyl)-amino]-benzoic acid (preparation 1F),  
30 5-Methyl-2-[(pyridin-4-ylmethyl)-amino]-benzoic acid (preparation 1G),  
5-Bromo-2-[(pyridin-4-ylmethyl)-amino]-benzoic acid (preparation 1H),  
3-[(Pyridin-4-ylmethyl)-amino]-isonicotinic acid (preparation 1I),  
2-(4-Fluoro-benzylamino)-benzoic acid (preparation 1J),  
2-(3-Cyano-4-fluoro-benzylamino)-benzoic acid (preparation 1K),  
35 5-[(2-Carboxy-phenylamino)-methyl]-2-fluoro-benzoic acid methyl ester (preparation  
1L),  
2-(4-Methoxy-benzylamino)-benzoic acid (preparation 1M),  
2-[(4-Methoxy-naphthalen-1-ylmethyl)-amino]-benzoic acid (preparation 1N),

- 2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-benzoic acid (preparation 1O),  
2-[(Benzofuran-5-ylmethyl)-amino]-benzoic acid (preparation 1P),  
2-[(2-Oxo-2H-chromen-6-ylmethyl)-amino]-benzoic acid (preparation 1Q),  
2-[(3,5-Dichloro-pyridin-4-ylmethyl)-amino]-benzoic acid (preparation 1R),  
5 2-[(2-Bromo-pyridin-4-ylmethyl)-amino]-benzoic acid (preparation 1S),  
2-[(2-Hydroxy-pyridin-4-ylmethyl)-amino]-benzoic acid (preparation 1T),  
2-[(2-Morpholin-4-yl-pyridin-4-ylmethyl)-amino]-benzoic acid (preparation 1U),  
2-[(Quinolin-4-ylmethyl)-amino]-benzoic acid (preparation 1V),  
2-[(6-Methoxy-pyridin-3-ylmethyl)-amino]-benzoic acid (preparation 1W),  
10 2-[(Thiazol-5-ylmethyl)-amino]-benzoic acid (preparation 1X),  
2-[(Tetrahydro-pyran-4-ylmethyl)-amino]-benzoic acid (preparation 1Y),  
2-[(pyridin-4-ylmethyl)-amino]-nicotinic acid (preparation 2),  
2-(4-Fluoro-benzylamino)-nicotinic acid (preparation 3),  
2-(4-Chloro-benzylamino)-nicotinic acid (preparation 3A),  
15 2-(Isoquinolin-5-ylamino)-nicotinic acid (preparation 3B),  
2-(4-Methoxy-benzylamino)-nicotinic acid (preparation 4),  
2-[(Pyridin-4-ylmethyl-amino)-nicotinonitrile (preparation 5),  
2-(4-Fluoro-benzylamino)-nicotinonitrile (preparation 6),  
2-(4-Methoxy-benzylamino)-nicotinonitrile (preparation 7),  
20 2-(isoquinolin-5-ylamino)-nicotinonitrile (preparation 3B),  
1-Pyridin-4-ylmethyl-1H-benzo[d][1,3]oxazine-2,4-dione (preparation 7A),  
1-(2-Amino-pyridin-4-ylmethyl)-1H-benzo[d][1,3]oxazine-2,4-dione (preparation 7B),  
2-[(Pyridin-4-ylmethyl)-amino]-benzoic acid pentafluorophenyl ester (preparation 7C),  
4-(2,4-Dioxo-4H-benzo[d][1,3]oxazin-1-ylmethyl)-benzonitrile (preparation 7D),  
25 1-(5-Oxo-4,5-dihydro-1H-[1,2,4]triazol-3-ylmethyl)-1H-benzo[d][1,3]oxazine-2,4-  
dione  
(preparation 7E),  
1-(2-Imidazol-1-yl-ethyl)-1H-benzo[d][1,3]oxazine-2,4-dione (preparation 7F),  
1-(1-Pyridin-4-yl-ethyl)-1H-benzo[d][1,3]oxazine-2,4-dione (preparation 7G),  
30 1-(6-Oxo-1,6-dihydro-pyridin-3-ylmethyl)-1H-benzo[d][1,3]oxazine-2,4-dione  
(preparation 7H), and  
1-(6-Oxo-1,6-dihydro-pyridin-3-ylmethyl)-1H-pyrido[2,3-d][1,3]oxazine-2,4-dione  
(preparation 7I).